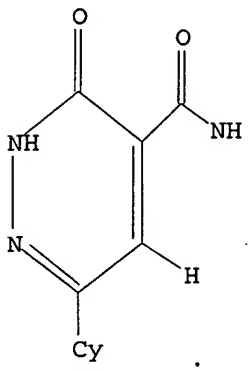
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
1-2 1-6 1-12 2-3 3-4 4-5 4-7 5-6 9-10 9-11
exact bonds :
5-9 6-8
isolated ring systems :
containing 1 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:Atom

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR




Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 10:36:32 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 45 TO ITERATE

100.0% PROCESSED 45 ITERATIONS 9 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 498 TO 1302
PROJECTED ANSWERS: 9 TO 360

L2 9 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 10:36:39 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 969 TO ITERATE

100.0% PROCESSED 969 ITERATIONS 102 ANSWERS

SEARCH TIME: 00.00.01

L3 102 SEA SSS FUL L1

=> file caplus

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 172.10 | 172.31 |

FILE 'CAPLUS' ENTERED AT 10:36:44 ON 29 JAN 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 29 Jan 2007 VOL 146 ISS 6
FILE LAST UPDATED: 28 Jan 2007 (20070128/ED)

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http://www.cas.org/infopolicy.html

=> s l3
L4 27 L3

=> d ibib abs hitstr tot

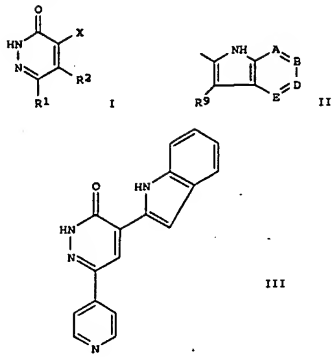
L4 ANSWER 1 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:1241148 CAPLUS
DOCUMENT NUMBER: 144:6796
TITLE: Preparation of pyridazinones as glycogen synthase kinase-3β inhibitors for pharmaceutical uses
INVENTOR(S): Hoelder, Swen; Mueller, Guenter; Schoenafinger, Karl; Will, David William; Matter, Hans; Bossart, Martin
PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany
SOURCE: PCT Int. Appl., 179 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2005111018 | A1 | 20051124 | WO 2005-EP5346 | 20050517 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1604988 | A1 | 20051214 | EP 2004-11734 | 20040518 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR | | | | |

PRIORITY APPLN. INFO.: EP 2004-11734 A 20040518

OTHER SOURCE(S): MARPAT 144:6796
GI

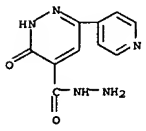
L4 ANSWER 1 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



I II III

AB The present invention relates to pyridazinones (shown as I; variables defined below; e.g. 4-(1H-indol-2-yl)-6-(pyridin-4-yl)-2H-pyridazin-3-one (III)) as well as their physiol. acceptable salts, methods for producing these compds. and their use as pharmaceuticals. Compds. I are kinase inhibitors, in particular inhibitors of the kinase GSK 3β (glycogen synthase kinase-3β). Methods of preparation are claimed and prepns. and/or characterization data for .apprx.200 examples of I are included. For example, III was prepared in 6 steps starting with preparation of 6-(pyridin-4-yl)-2H-pyridazin-3-one by cyclization of 4-acetylpyridine with acid monohydrate followed by preparation of intermediates 3-chloro-6-(pyridin-4-yl)pyridazine, 3-methoxy-6-(pyridin-4-yl)pyridazine, 4-iodo-3-methoxy-6-(pyridin-4-yl)pyridazine and 2-[3-methoxy-6-(pyridin-4-yl)pyridazin-4-yl]indole-1-carboxylic acid tert-Bu ester. For I: X = II (A is CR3 or N; B is CR4 or N; D is CR5 or N; E is CR6 or N; where not more than three of A, B, D and E may be N), tetrazolyl and (un)substituted triazolyl, imidazolyl, pyrrolyl and pyrazolyl (each X is bound to the pyridazinone fragment via the C atom being in α-position to the NH-fragment); R1 is halogen or (un)substituted C1-C10-alkyl; R2 is H or C1-C10-alkyl; addnl. details including provisos are given in the claims. IC50 values for inhibition of GSK 3β are tabulated for 16 examples of I, e.g. 0.007 μM for 4-[3-(1-methyl-1H-pyrazol-4-yl)-1H-indol-2-yl]-6-(pyridin-4-yl)-2H-pyridazin-3-one.
IT 80843-46-5P, 3-Oxo-6-(pyridin-4-yl)-2,3-dihydropyridazine-4-

L4 ANSWER 1 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
carboxylic hydrazide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of pyridazinones as glycogen synthase kinase-3β inhibitors for pharmaceutical uses)
RN 80843-46-5 CAPLUS
CN 4-Pyridazinecarboxylic acid, 2,3-dihydro-3-oxo-6-(4-pyridinyl)-, hydrazide
(9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 2 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:1235649 CAPLUS
DOCUMENT NUMBER: 144:6795
TITLE: Preparation of novel pyridazinone derivatives as inhibitors of CDK2
PATENT ASSIGNEE(S): Aventis Pharma Deutschland G.M.B.H., Germany
SOURCE: Eur. Pat. Appl., 64 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 1598348 | A1 | 20051123 | EP 2004-11735 | 20040518 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR | | | | |
| AU 2005243493 | A1 | 20051124 | AU 2005-243493 | 20050517 |
| WO 2005111019 | A1 | 20051124 | WO 2005-EP6046 | 20050517 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |

PRIORITY APPLN. INFO.: EP 2004-11735 A 20040518
WO 2005-EP6046 W 20050517

OTHER SOURCE(S): MARPAT 144:6795
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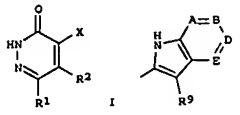
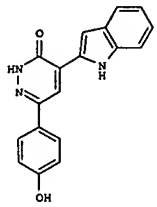
L4 ANSWER 2 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



I



II



III

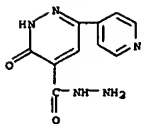
AB The title compds. I [X = II, tetrazolyl, (un)substituted triazolyl, etc.; A = CR3, N; B = CR4, N; D = CR5, N; E = CR6, N; where not more than three of A, B, D and E may be N; R1 = halo, (un)substituted alkyl, aryl, etc.; R2 = H, alkyl; R3-R6 = H, halo, CN, etc.; R9 = H, halo, CN, etc.], useful as inhibitors of CDK2 for treating cancer, were prepared and formulated. E.g., a multi-step synthesis of III, starting from 6-chloro-4-iodo-3-methoxypyridazine and 1-(tert-butoxycarbonyl)indole-2-boronic acid, was given. III showed IC50 of 0.033 μM in CDK2/Cyclin E flashplate assay.

IT 80843-46-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of novel pyridazinones as inhibitors of CDK2 for treating cancer)

RN 80843-46-5 CAPLUS

CN 4-Pyridazinecarboxylic acid, 2,3-dihydro-3-oxo-6-(4-pyridinyl)-, hydrazide (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 3 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:1080536 CAPLUS

DOCUMENT NUMBER: 144:22880

TITLE: Pyrazolo[3,4-c]pyridazines as Novel and Selective Inhibitors of Cyclin-Dependent Kinases

AUTHOR(S): Brana, Miguel F.; Cacho, Monica; Garcia, M. Luisa; Mayoral, Elena P.; Lopez, Berta; de Pascual-Teresa, Beatriz; Ramos, Ana; Acero, Nuria; Llinares, Francisco; Munoz-Mingarro, Dolores; Lozach, Olivier; Meijer, Laurent

CORPORATE SOURCE: Facultad de Farmacia, Universidad San Pablo CEU, Madrid, Spain

SOURCE: Journal of Medicinal Chemistry (2005), 48(22), 6843-6854
CODEN: JMCMAR; ISSN: 0022-2623

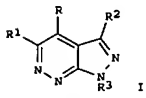
PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 144:22880

GI



I

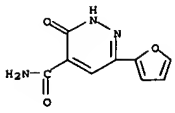
AB Pyrazolopyridazines I (R, R1 = Ph, 4-PhC6H4, 4-O2NC6H4, 4-Me3CC6H4, 4-F3CC6H4, 4-MeOC6H4, 2-PhC6H4, 2-furyl, 2-pyridyl, 4-H2NC6H4, H, Me; R2 = H2N, HO, EtNHCONH, PhNHCONH, H2NNH, MeCONH; R3 = H, MeCO, PhCH2, HOCH2CH2OCH2, HOCH2CH2OCH2CH2) are prepared as selective inhibitors of cyclin-dependent kinases and as potential anticancer agents; diphenylpyrazolopyridazinamine I (R = R1 = Ph; R2 = H2N; R3 = H) (II) is a potent inhibitor of CDK1/cyclin B and is selective for cyclic-dependent kinases over other kinases such as kdr or lck. The structure of II and ATP bound to CDK2 is determined by computation using a combination of conformational search and automated docking techniques; the stability of the resulting complex is assessed using mol. dynamics simulations. The binding of II to cyclic-dependent kinases and inhibition of human cancer cell lines is rationalized with the binding mode of II to CDK2. I (R = H; R1 = 2-furyl; R2 = H2N; R3 = H) is prepared based on the computational structure derived for II and ATP bound to CDK2 and is one of the most active CDK1 inhibitors of the pyrazolopyrazines tested.

IT 870120-00-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted pyrazolo[3,4-c]pyridazines, particularly pyrazolo[3,4-c]pyridazinamines, as selective inhibitors of cyclic-dependent kinases and as potential anticancer agents)

RN 870120-00-6 CAPLUS

CN 4-Pyridazinecarboxamide, 6-(2-furanyl)-2,3-dihydro-3-oxo- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 4 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:1004734 CAPLUS
DOCUMENT NUMBER: 143:306326
TITLE: Production of 4-benzimidazol-2-yl-pyridazin-3-one derivatives and use thereof in medicaments
INVENTOR(S): Schoenafinger, Karl; Hoelder, Swen; Will, David William; Matter, Hans; Mueller, Guenther; Bossart, Martin
PATENT ASSIGNEE(S): Aventis Pharma Deutschland G.m.b.H., Germany
SOURCE: PCT Int. Appl., 126 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2005085230 | A1 | 20050915 | WO 2005-EP2179 | 20050302 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| DE 102004010194 | A1 | 20051013 | DE 2004-102004010194 | 20040302 |
| EP 1725543 | A1 | 20061129 | EP 2005-715654 | 20050302 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | |
| PRIORITY APPLN. INFO.: | | | DE 2004-102004010194A | 20040302 |
| | | | WO 2005-EP2179 W | 20050302 |

OTHER SOURCE(S): MARPAT 143:306326
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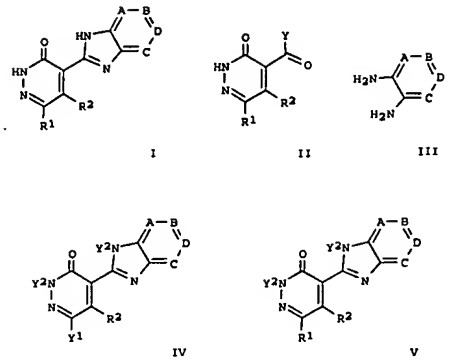


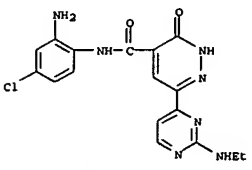
I II III

IV V

AB The invention relates to compds. I [A = CR3, N; B = CR4, N; D = CR5, N; E = CR6, N; R1 = halogen, un-, monosubstituted C1-10-alkyl, heterocyclyl, aryl, heteroaryl {optionally substituted with halogen, CN, NO2, OR7, COR7, CO2R7, OC(:O)R7, NR7R8, NHCOR7, CONR7R8, NHCSR7, CSNR7R8, SR7, SOR7, SO2R7, NHSO2R7, SO2NR7R8, O-SO2R7, SO2-OR7, aryl, heteroaryl, heterocycle, CF3, OCF3}; R2 = H, C1-10-alkyl; R3, R4, R5, R6 = H, halogen, CN, NO2, CH2R8, CH2NH2, CH2NH(C1-6-alkyl), CH2N(C1-6-alkyl)2, CH2OH, CH2O-(C1-6-alkyl), OR8, COR8, CO2R8, OC(:O)R8, NR7R8, NHCOR8, CONR7R8, NHCSR8, CSNR7R8, SR8, SOR8, SO2R8, NHSO2R8, SO2NR7R8, O-SO2R8, SO2-OR8, (un)substituted aryl, heteroaryl, heterocycle, CF3, OCF3; R7, R8 = H, un-monosubstituted C1-10-alkyl, C2-10-alkenyl, C2-10-alkynyl, heterocyclyl, aryl, heteroaryl; aryl = 5- to 10-membered aromatic mono- or bicyclic ring; heteroaryl = 5- to 10-membered aromatic mono- or bicyclic heterocycle with one or more heteroaroms - N, O, S; heterocycle = 5- to 10-membered non-aromatic mono- or bicyclic ring with one or more heteroaroms - N, O, S; with the proviso that up to three of A, B, D, E can equal N simultaneously; etc.] in addition to the physiol. compatible salts thereof, methods for the production of said compds. and the use thereof as medicaments. The procedure for the preparation

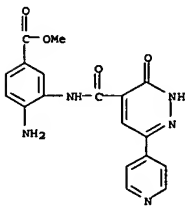
L4 ANSWER 4 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
of I comprises: reaction of pyridazinone II [Y = H, leaving group] with diamine III whereby cyclization takes place (a) in the presence of an acid or H2O removing medium when Y = leaving group or (b) through oxidn., esp. in the presence of O2, when Y = H. Alternatively, I can be prepd. from pyridazin-3-one IV [Y1 = halogen, B(OH)2, Sn(C1-10-alkyl)3; Y2 = H, protecting group] via palladium-catalyzed coupling with R1Z [Z = halogen, B(OH)2, B(C1-10-alkyl)2, Sn(C1-10-alkyl)3, Zn(C1-10-alkyl)] followed by deprotection of V (Y2 = protecting group). Thus, 4-(6-trifluoromethyl-1H-benzimidazol-2-yl)-6-(pyridin-4-yl)-2H-pyridazin-3-one [I; A = B = E = CH, D = C-CF3, R1 = 4-pyridinyl, R2 = H] was prepd. from 3-oxo-6-(pyridin-4-yl)-2,3-dihydropyridazin-4-carboxylic acid via chlorination with SOCl2 in (MeOCH2)2, followed by amination with 4-(trifluoromethyl)benzene-1,2-diamine in (MeOCH2)2 contg. Et3N and cyclocondensation of the amide in AcOH. Said compds. are kinase inhibitors, particularly inhibitors of kinase GSK-3β (glycogen synthase kinase-3β). The enzyme inhibitory activity of I [A = B = E = CH, D = C-CF3, R1 = 4-pyridinyl, R2 = H] was detd. [IC50 = 16 nM].

IT 864464-06-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclocondensation of; preparation of 4-benzimidazol-2-yl-pyridazin-3-one derivs. with GSK-3β inhibitory activity)
RN 864464-06-2 CAPLUS
CN 4-Pyridazinecarboxamide, N-(2-amino-4-chlorophenyl)-6-[2-(ethylamino)-4-pyrimidinyl]-2,3-dihydro-3-oxo- (9CI) (CA INDEX NAME)



IT 864464-01-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclocondensation or saponification/cyclocondensation of; preparation of 4-benzimidazol-2-yl-pyridazin-3-one derivs. with GSK-3β inhibitory activity)
RN 864464-01-7 CAPLUS
CN Benzoic acid, 4-amino-3-[[[2,3-dihydro-3-oxo-6-(4-pyridinyl)-4-pyridazinyl]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:1002888 CAPLUS
DOCUMENT NUMBER: 143:286437
TITLE: Preparation of 4-benzimidazol-2-yl-pyridazin-3-ones as
cyclin dependent kinase 2 inhibitors
PATENT ASSIGNEE(S): Aventis Pharma S. A., Fr.
SOURCE: Ger. Offen., 37 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| DE 102004010207 | A1 | 20050915 | DE 2004-102004010207 | 20040302 |
| AU 2005219563 | A1 | 20050915 | AU 2005-219563 | 20050218 |
| CA 2555161 | A1 | 20050915 | CA 2005-2555161 | 20050218 |
| WO 2005085231 | A1 | 20050915 | WO 2005-EP2569 | 20050218 |

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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

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| EP 1723137 | A1 | 20061122 | EP 2005-715943 | 20050218 |

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| PRIORITY APPLN. INFO.: | DE 2004-102004010207A | 20040302 |
| | WO 2005-EP2569 W | 20050218 |

OTHER SOURCE(S): MARPAT 143:286437
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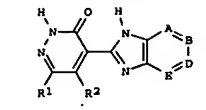
L4 ANSWER 5 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



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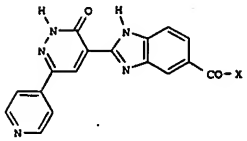
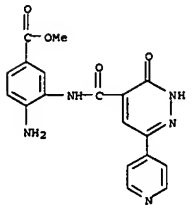


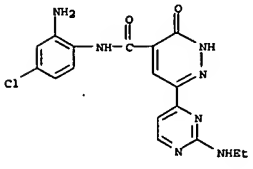
II

AB Title compds. I (A = CR3, N; B = CR4, N; D = CR5, N; E = CR8, N; R3, R4, R5 = H, halo, CN, etc.; R1 = halo, alkyl; R2 = H, alkyl; R8 = H, alkyl, alkenyl, etc.) and their pharmaceutically acceptable salts and formulations were prepared For example, saponification of Me ester II (X = OMe) afforded claimed carboxylic acid II (X = OH). In cyclin dependent kinase 2 inhibition assays, 3-examples of compds. I exhibited IC50 values ranging from 0.026-0.214 μM.
IT 864464-01-7P 864464-06-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzimidazolylpyridazinones as cyclin dependent kinase 2 inhibitors)
RN 864464-01-7 CAPLUS
CN Benzoic acid, 4-amino-3-[[[2,3-dihydro-3-oxo-6-(4-pyridinyl)-4-pyridazinyl]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 864464-06-2 CAPLUS
CN 4-Pyridazinecarboxamide, N-(2-amino-4-chlorophenyl)-6-[2-(ethylamino)-4-pyrimidinyl]-2,3-dihydro-3-oxo- (9CI) (CA INDEX NAME)



own work

L4 ANSWER 6 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:411321 CAPLUS
DOCUMENT NUMBER: 140:423683
TITLE: Preparation of pyridazinones as protein Tau phosphorylation inhibitors, their drugs and pharmaceutical compositions containing them for treatment, in particular, of central and peripheral nervous system diseases
INVENTOR(S): Lesuisse, Dominique; Halley, Franck; Baudoin, Bernard; Rooney, Thomas; Hoelder, Swen; Naumann, Thorsten; Tiraboschi, Gilles
PATENT ASSIGNEE(S): Aventis Pharma Sa, Fr.
SOURCE: Fr. Demande, 65 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| FR 2847253 | A1 | 20040521 | FR 2002-14443 | 20021119 |
| CA 2506022 | A1 | 20040603 | CA 2003-2506022 | 20031119 |
| CA 2518917 | A1 | 20040603 | CA 2003-2518917 | 20031119 |
| WO 2004046130 | A1 | 20040603 | WO 2003-EP12949 | 20031119 |

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| WO 2004046117 | A1 | 20040603 | WO 2003-EP12950 | 20031119 |

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| AU 2003283414 | A1 | 20040615 | AU 2003-283414 | 20031119 |
| AU 2003296586 | A1 | 20040615 | AU 2003-296586 | 20031119 |
| US 2004176377 | A1 | 20040909 | US 2003-715358 | 20031119 |
| US 2005026918 | A1 | 20050203 | US 2003-715556 | 20031119 |
| EP 1581505 | A1 | 20051005 | ~~EP 2003-775972~~ | 20031119 |

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| BR 2003016720 | A | 20051018 | BR 2003-16720 | 20031119 |
| EP 1611121 | A1 | 20060104 | EP 2003-811383 | 20031119 |

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L4 ANSWER 6 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

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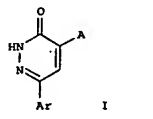
| CN | 1741999 | A | 20060301 | CN 2003-80105057 | 20031119 |
| JP | 2006509748 | T | 20060323 | JP 2004-552660 | 20031119 |
| NO | 2005002887 | A | 20050729 | NO 2005-2887 | 20050614 |
| PRIORITY APPLN. INFO.: | | | | FR 2002-14443 | A 20021119 |
| | | | | US 2003-438336P | P 20030107 |
| | | | | WO 2003-EP12949 | W 20031119 |
| | | | | WO 2003-EP12950 | W 20031119 |

OTHER SOURCE(S): MARPAT 140:423683
GI



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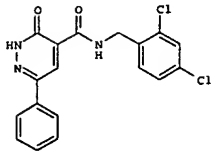


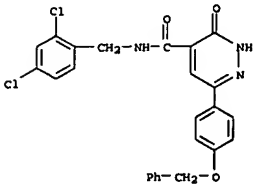
II

AB Title compds. I [wherein A = CONHR, or NHCOR; R = (un)substituted heteroaryl/aryl/alkyl, hetero/aryl, fused hetero/aryl with cycloalkyl, etc.; Ar = (un)substituted aryl, Ph, pyridinyl; and their racemates, enantiomers, diastereomers, mixts., tautomers and pharmaceutically acceptable salts] were prepared as protein Tau phosphorylation inhibitors.
Three standard pharmaceutical compns. are given. For example, II was prepared
by acylation of 3-Oxo-6-phenyl-2,3-dihydropyridazine-4-carboxylic acid with 2,4-dichlorobenzylamine. Selected invention compds. I inhibited phosphorylation of protein Tau with an IC50 < 100 μM. Thus, I and their pharmaceutical compns. are useful as kinase inhibitors and for

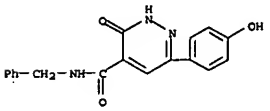
L4 ANSWER 6 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
treatment, in particular, of central and peripheral nervous system diseases (no data).

IT 691848-75-6P, N-(2,4-Dichlorobenzyl)-3-oxo-6-[4-(benzyloxy)phenyl]-2,3-dihydropyridazine-4-carboxamide 691848-77-8P, N-Benzyl-3-oxo-6-[4-(hydroxy)phenyl]-2,3-dihydropyridazine-4-carboxamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of pyridazinones as protein Tau phosphorylation
inhibitors for treating central and peripheral nervous system diseases)

RN 691848-75-6 CAPLUS
CN 4-Pyridazinecarboxamide, N-[(2,4-dichlorophenyl)methyl]-2,3-dihydro-3-oxo-6-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 691848-77-8 CAPLUS
CN 4-Pyridazinecarboxamide, 2,3-dihydro-6-(4-hydroxyphenyl)-3-oxo-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



IT 691848-21-2P, N-(2,4-Dichlorobenzyl)-3-oxo-6-phen-4-yl-2,3-dihydropyridazine-4-carboxamide 691848-24-5P, N-(2,4-Dichlorobenzyl)-3-oxo-6-(pyridin-4-yl)-2,3-dihydropyridazine-4-carboxamide 691848-28-9P, N-Benzyl-3-oxo-6-(pyridin-4-yl)-2,3-dihydropyridazine-4-carboxamide 691848-31-4P, N-(4-Chlorobenzyl)-3-oxo-6-(pyridin-4-yl)-2,3-dihydropyridazine-4-carboxamide 691848-33-6P, N-(2-Chlorobenzyl)-3-oxo-6-(pyridin-4-yl)-2,3-dihydropyridazine-4-carboxamide 691848-36-9P,

L4 ANSWER 6 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
N-[2-(2,4-Dichlorophenyl)ethyl]-3-oxo-6-(pyridin-4-yl)-2,3-dihydropyridazine-4-carboxamide 691848-38-1P, N-(2,4-Dichlorophenyl)-3-oxo-6-(pyridin-4-yl)-2,3-dihydropyridazine-4-carboxamide 691848-41-6P, 3-Oxo-6-(pyridin-4-yl)-N-[(pyridin-4-yl)methyl]-2,3-dihydropyridazine-4-carboxamide 691848-43-8P,

3-Oxo-6-(pyridin-4-yl)-N-[3-(trifluoromethyl)benzyl]-2,3-dihydropyridazine-4-carboxamide 691848-45-0P, 3-Oxo-6-(pyridin-4-yl)-N-[4-(trifluoromethyl)benzyl]-2,3-dihydropyridazine-4-carboxamide 691848-47-2P, N-(3,5-Dichlorobenzyl)-3-oxo-6-(pyridin-4-yl)-2,3-dihydropyridazine-4-carboxamide 691848-49-4P, 3-Oxo-6-(pyridin-4-yl)-N-(n-butyl)-2,3-dihydropyridazine-4-carboxamide 691848-51-8P, 3-[[[3-Oxo-6-(pyridin-4-yl)-2,3-dihydropyridazin-4-yl]carbonyl]amino]propionic acid ethyl ester 691848-53-0P, 3-Oxo-6-(pyridin-4-yl)-N-(pyridin-3-ylmethyl)-2,3-dihydropyridazine-4-carboxamide 691848-55-2P, 3-Oxo-6-(pyridin-4-yl)-N-[(pyridin-2-yl)methyl]-2,3-dihydropyridazine-4-carboxamide 691848-57-4P, N-(3,4-Dichlorobenzyl)-3-oxo-6-(pyridin-4-yl)-2,3-dihydropyridazine-4-carboxamide 691848-59-6P, N-[4-(Morpholin-4-yl)benzyl]-3-oxo-6-(pyridin-4-yl)-2,3-dihydropyridazine-4-carboxamide 691848-67-6P, N-(4-Hydroxybenzyl)-3-oxo-6-(pyridin-4-yl)-2,3-dihydropyridazine-4-carboxamide 691848-79-0P, N-(2,4-Dichlorobenzyl)-3-oxo-6-[4-(hydroxy)phenyl]-2,3-dihydropyridazine-4-carboxamide 691848-81-4P, N-(2,4-Dichlorobenzyl)-3-oxo-6-(3-benzyl-4-hydroxyphenyl)-2,3-dihydropyridazine-4-carboxamide 691848-89-2P, N-(2,4-Dichlorobenzyl)-3-oxo-6-(pyridin-2-yl)-2,3-dihydropyridazine-4-carboxamide 691848-99-4P, N-(2,4-Dichlorobenzyl)-3-oxo-6-(pyridin-3-yl)-2,3-dihydropyridazine-4-carboxamide 691849-03-3P, N-(4-Chlorobenzyl)-3-oxo-6-[4-(hydroxy)phenyl]-2,3-dihydropyridazine-4-carboxamide 691849-04-4P, N-(2-Chlorobenzyl)-3-oxo-6-[4-(hydroxy)phenyl]-2,3-dihydropyridazine-4-carboxamide 691849-05-5P, N-[2-(2,4-Dichlorophenyl)ethyl]-3-oxo-6-[4-(hydroxy)phenyl]-2,3-dihydropyridazine-4-carboxamide 691849-06-6P,

N-(2,4-Dichlorophenyl)-3-oxo-6-[4-(hydroxy)phenyl]-2,3-dihydropyridazine-4-carboxamide 691849-07-7P, 3-Oxo-6-[4-(hydroxy)phenyl]-N-(pyridin-4-ylmethyl)-2,3-dihydropyridazine-4-carboxamide 691849-08-8P, 3-Oxo-6-[4-(hydroxy)phenyl]-N-[3-(trifluoromethyl)benzyl]-2,3-dihydropyridazine-4-carboxamide 691849-09-9P, 3-Oxo-6-[4-(hydroxy)phenyl]-N-[4-(trifluoromethyl)benzyl]-2,3-dihydropyridazine-4-carboxamide 691849-10-2P,

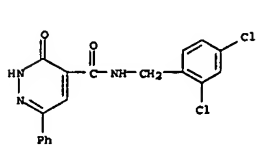
N-(3,5-Dichlorobenzyl)-3-oxo-6-[4-(hydroxy)phenyl]-2,3-dihydropyridazine-4-carboxamide 691849-11-3P, 3-Oxo-6-[4-(hydroxy)phenyl]-N-(n-butyl)-2,3-dihydropyridazine-4-carboxamide 691849-12-4P,

3-Oxo-6-[4-(hydroxy)phenyl]-N-(pyridin-3-ylmethyl)-2,3-dihydropyridazine-4-carboxamide 691849-13-5P, 3-Oxo-6-[4-(hydroxy)phenyl]-N-(pyridin-2-ylmethyl)-2,3-dihydropyridazine-4-carboxamide 691849-14-6P,

N-(3,4-Dichlorobenzyl)-3-oxo-6-[4-(hydroxy)phenyl]-2,3-dihydropyridazine-4-carboxamide 691849-15-7P, N-[4-(Morpholin-4-yl)benzyl]-3-oxo-6-[4-(hydroxy)phenyl]-2,3-dihydropyridazine-4-carboxamide 691849-16-8P, N-(4-Hydroxybenzyl)-3-oxo-6-[4-(hydroxy)phenyl]-2,3-dihydropyridazine-4-carboxamide 691849-17-9P, N-(2,4-Dichlorobenzyl)-3-oxo-6-[4-(hydroxy)pyridin-3-yl]-2,3-dihydropyridazine-4-carboxamide 691849-18-0P, N-Benzyl-3-oxo-6-[4-(hydroxy)pyridin-3-yl]-2,3-dihydropyridazine-4-carboxamide 691849-19-1P, N-(4-Chlorobenzyl)-3-oxo-6-[4-

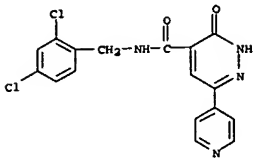
L4 ANSWER 6 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
(hydroxy)pyridin-3-yl]-2,3-dihydropyridazine-4-carboxamide 691849-20-4P, N-(2-Chlorobenzyl)-3-oxo-6-[4-(hydroxy)pyridin-3-yl]-2,3-dihydropyridazine-4-carboxamide 691849-21-5P, N-[2-(2,4-Dichlorophenyl)ethyl]-3-oxo-6-[4-(hydroxy)pyridin-3-yl]-2,3-dihydropyridazine-4-carboxamide 691849-22-6P, N-(2,4-Dichlorophenyl)-3-oxo-6-[4-(hydroxy)pyridin-3-yl]-2,3-dihydropyridazine-4-carboxamide 691849-23-7P, 3-Oxo-6-[4-(hydroxy)pyridin-3-yl]-N-(pyridin-4-ylmethyl)-2,3-dihydropyridazine-4-carboxamide 691849-24-8P, 3-Oxo-6-[4-(hydroxy)pyridin-3-yl]-N-[3-(trifluoromethyl)benzyl]-2,3-dihydropyridazine-4-carboxamide 691849-25-9P, 3-Oxo-6-[4-(hydroxy)pyridin-3-yl]-N-[4-(trifluoromethyl)benzyl]-2,3-dihydropyridazine-4-carboxamide 691849-26-0P, N-(3,5-Dichlorobenzyl)-3-oxo-6-[4-(hydroxy)pyridin-3-yl]-2,3-dihydropyridazine-4-carboxamide 691849-27-1P, 3-Oxo-6-[4-(hydroxy)pyridin-3-yl]-N-(n-butyl)-2,3-dihydropyridazine-4-carboxamide 691849-28-2P, 3-Oxo-6-[4-(hydroxy)pyridin-3-yl]-N-(pyridin-3-ylmethyl)-2,3-dihydropyridazine-4-carboxamide 691849-29-3P, 3-Oxo-6-[4-(hydroxy)pyridin-3-yl]-N-(pyridin-2-ylmethyl)-2,3-dihydropyridazine-4-carboxamide 691849-30-6P, N-(3,4-Dichlorobenzyl)-3-oxo-6-[4-(hydroxy)pyridin-3-yl]-2,3-dihydropyridazine-4-carboxamide 691849-31-7P, N-[4-(Morpholin-4-yl)benzyl]-3-oxo-6-[4-(hydroxy)pyridin-3-yl]-2,3-dihydropyridazine-4-carboxamide 691849-32-8P, N-(4-Hydroxybenzyl)-3-oxo-6-[4-(hydroxy)pyridin-3-yl]-2,3-dihydropyridazine-4-carboxamide 691849-34-0P, N-(2,4-Dichlorobenzyl)-3-oxo-6-(pyrimidin-4-yl)-2,3-dihydropyridazine-4-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(protein Tau phosphorylation inhibitor; prepn. of pyridazinones as protein Tau phosphorylation inhibitors for treating central and peripheral nervous system diseases)

RN 691848-21-2 CAPLUS
CN 4-Pyridazinecarboxamide, N-[(2,4-dichlorophenyl)methyl]-2,3-dihydro-3-oxo-6-phenyl- (9CI) (CA INDEX NAME)



RN 691848-24-5 CAPLUS
CN 4-Pyridazinecarboxamide, N-[(2,4-dichlorophenyl)methyl]-2,3-dihydro-3-oxo-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 691848-28-9 CAPLUS
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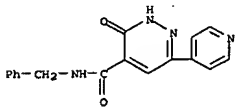

RN 691848-31-4 CAPLUS
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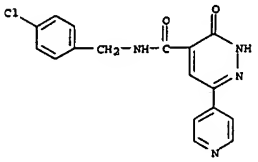
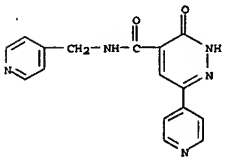
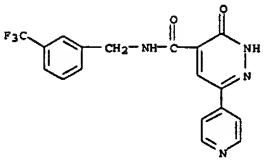


RN 691848-33-6 CAPLUS
CN 4-Pyridazinecarboxamide, N-[(2-chlorophenyl)methyl]-2,3-dihydro-3-oxo-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

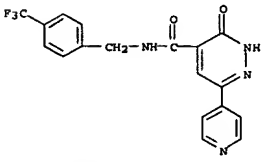
L4 ANSWER 6 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 691848-43-8 CAPLUS
CN 4-Pyridazinecarboxamide, 2,3-dihydro-3-oxo-6-(4-pyridinyl)-N-[[3-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

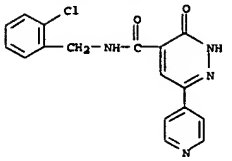


RN 691848-45-0 CAPLUS
CN 4-Pyridazinecarboxamide, 2,3-dihydro-3-oxo-6-(4-pyridinyl)-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

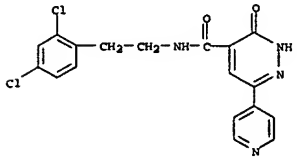


RN 691848-47-2 CAPLUS
CN 4-Pyridazinecarboxamide, N-[(3,5-dichlorophenyl)methyl]-2,3-dihydro-3-oxo-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

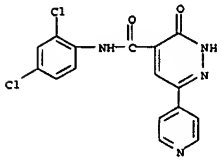
L4 ANSWER 6 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 691848-36-9 CAPLUS
CN 4-Pyridazinecarboxamide, N-[2-(2,4-dichlorophenyl)ethyl]-2,3-dihydro-3-oxo-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

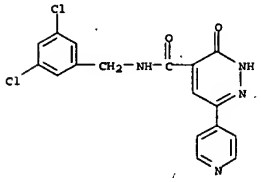


RN 691848-38-1 CAPLUS
CN 4-Pyridazinecarboxamide, N-(2,4-dichlorophenyl)-2,3-dihydro-3-oxo-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

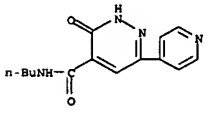


RN 691848-41-6 CAPLUS
CN 4-Pyridazinecarboxamide, 2,3-dihydro-3-oxo-6-(4-pyridinyl)-N-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

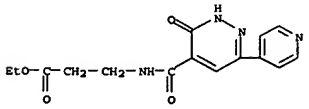
L4 ANSWER 6 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 691848-49-4 CAPLUS
CN 4-Pyridazinecarboxamide, N-butyl-2,3-dihydro-3-oxo-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

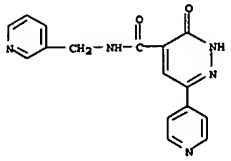


RN 691848-51-8 CAPLUS
CN β-Alanine, N-[[2,3-dihydro-3-oxo-6-(4-pyridinyl)-4-pyridazinyl]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

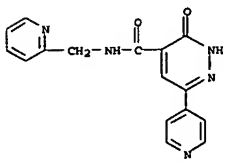


RN 691848-53-0 CAPLUS
CN 4-Pyridazinecarboxamide, 2,3-dihydro-3-oxo-6-(4-pyridinyl)-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

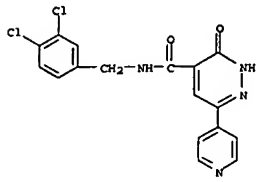
L4 ANSWER 6 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



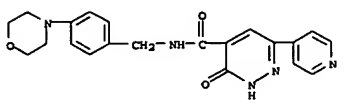
RN 691848-55-2 CAPLUS
CN 4-Pyridazinecarboxamide, 2,3-dihydro-3-oxo-6-(4-pyridinyl)-N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)



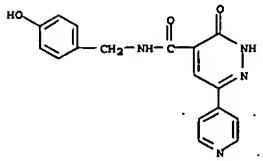
RN 691848-57-4 CAPLUS
CN 4-Pyridazinecarboxamide, N-[(3,4-dichlorophenyl)methyl]-2,3-dihydro-3-oxo-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



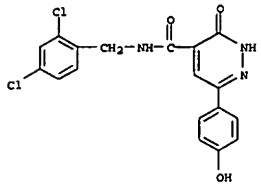
RN 691848-59-6 CAPLUS
CN 4-Pyridazinecarboxamide, 2,3-dihydro-N-[[4-(4-morpholinyl)phenyl]methyl]-3-oxo-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 691848-67-6 CAPLUS
CN 4-Pyridazinecarboxamide, 2,3-dihydro-N-[(4-hydroxyphenyl)methyl]-3-oxo-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

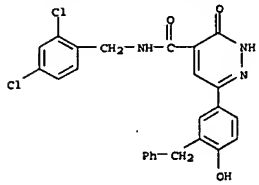


RN 691848-79-0 CAPLUS
CN 4-Pyridazinecarboxamide, N-[(2,4-dichlorophenyl)methyl]-2,3-dihydro-6-(4-hydroxyphenyl)-3-oxo- (9CI) (CA INDEX NAME)

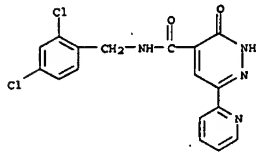


RN 691848-81-4 CAPLUS
CN 4-Pyridazinecarboxamide, N-[(2,4-dichlorophenyl)methyl]-2,3-dihydro-6-[4-hydroxy-3-(phenylmethyl)phenyl]-3-oxo- (9CI) (CA INDEX NAME)

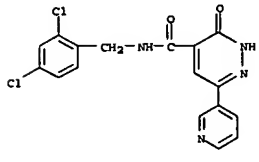
L4 ANSWER 6 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 691848-89-2 CAPLUS
CN 4-Pyridazinecarboxamide, N-[(2,4-dichlorophenyl)methyl]-2,3-dihydro-3-oxo-6-(2-pyridinyl)- (9CI) (CA INDEX NAME)

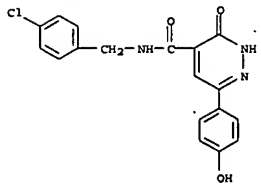


RN 691848-99-4 CAPLUS
CN 4-Pyridazinecarboxamide, N-[(2,4-dichlorophenyl)methyl]-2,3-dihydro-3-oxo-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)

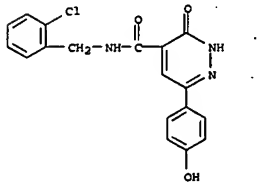


RN 691849-03-3 CAPLUS
CN 4-Pyridazinecarboxamide, N-[(4-chlorophenyl)methyl]-2,3-dihydro-6-(4-hydroxyphenyl)-3-oxo- (9CI) (CA INDEX NAME)

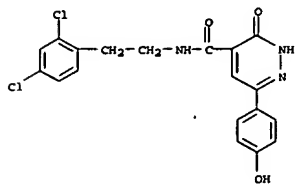
L4 ANSWER 6 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 691849-04-4 CAPLUS
CN 4-Pyridazinecarboxamide, N-[(2-chlorophenyl)methyl]-2,3-dihydro-6-(4-hydroxyphenyl)-3-oxo- (9CI) (CA INDEX NAME)

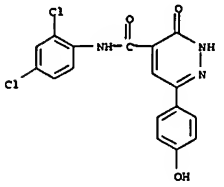


RN 691849-05-5 CAPLUS
CN 4-Pyridazinecarboxamide, N-[2-(2,4-dichlorophenyl)ethyl]-2,3-dihydro-6-(4-hydroxyphenyl)-3-oxo- (9CI) (CA INDEX NAME)

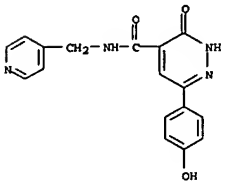


RN 691849-06-6 CAPLUS
CN 4-Pyridazinecarboxamide, N-(2,4-dichlorophenyl)-2,3-dihydro-6-(4-

L4 ANSWER 6 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
hydroxyphenyl)-3-oxo- (9CI) (CA INDEX NAME)

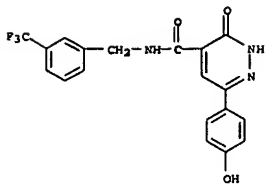


RN 691849-07-7 CAPLUS
CN 4-Pyridazinecarboxamide, 2,3-dihydro-6-(4-hydroxyphenyl)-3-oxo-N-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

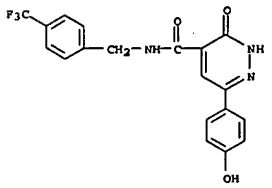


RN 691849-08-8 CAPLUS
CN 4-Pyridazinecarboxamide, 2,3-dihydro-6-(4-hydroxyphenyl)-3-oxo-N-[[3-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

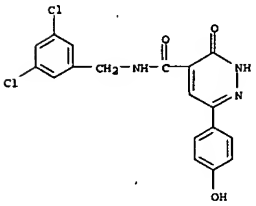


RN 691849-09-9 CAPLUS
CN 4-Pyridazinecarboxamide, 2,3-dihydro-6-(4-hydroxyphenyl)-3-oxo-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

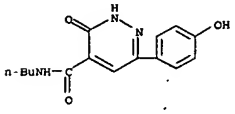


RN 691849-10-2 CAPLUS
CN 4-Pyridazinecarboxamide, N-[(3,5-dichlorophenyl)methyl]-2,3-dihydro-6-(4-hydroxyphenyl)-3-oxo- (9CI) (CA INDEX NAME)

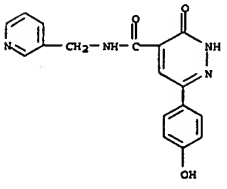
L4 ANSWER 6 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 691849-11-3 CAPLUS
CN 4-Pyridazinecarboxamide, N-butyl-2,3-dihydro-6-(4-hydroxyphenyl)-3-oxo- (9CI) (CA INDEX NAME)

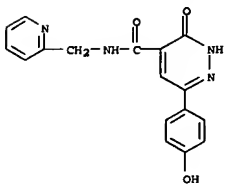


RN 691849-12-4 CAPLUS
CN 4-Pyridazinecarboxamide, 2,3-dihydro-6-(4-hydroxyphenyl)-3-oxo-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

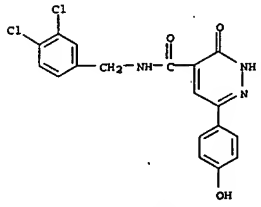


RN 691849-13-5 CAPLUS
CN 4-Pyridazinecarboxamide, 2,3-dihydro-6-(4-hydroxyphenyl)-3-oxo-N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

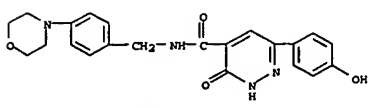
L4 ANSWER 6 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 691849-14-6 CAPLUS
CN 4-Pyridazinecarboxamide, N-[(3,4-dichlorophenyl)methyl]-2,3-dihydro-6-(4-hydroxyphenyl)-3-oxo- (9CI) (CA INDEX NAME)

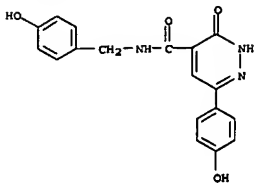


RN 691849-15-7 CAPLUS
CN 4-Pyridazinecarboxamide, 2,3-dihydro-6-(4-hydroxyphenyl)-N-[[4-(4-morpholinyl)phenyl]methyl]-3-oxo- (9CI) (CA INDEX NAME)

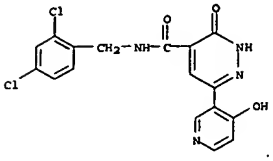


RN 691849-16-8 CAPLUS
CN 4-Pyridazinecarboxamide, 2,3-dihydro-6-(4-hydroxyphenyl)-N-[(4-hydroxyphenyl)methyl]-3-oxo- (9CI) (CA INDEX NAME)

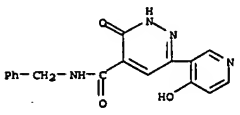
L4 ANSWER 6 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 691849-17-9 CAPLUS
CN 4-Pyridazinecarboxamide, N-[(2,4-dichlorophenyl)methyl]-2,3-dihydro-6-(4-hydroxy-3-pyridinyl)-3-oxo- (9CI) (CA INDEX NAME)

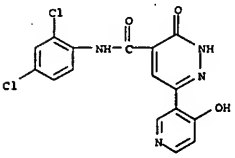


RN 691849-18-0 CAPLUS
CN 4-Pyridazinecarboxamide, 2,3-dihydro-6-(4-hydroxy-3-pyridinyl)-3-oxo-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

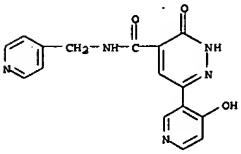


RN 691849-19-1 CAPLUS
CN 4-Pyridazinecarboxamide, N-[(4-chlorophenyl)methyl]-2,3-dihydro-6-(4-hydroxy-3-pyridinyl)-3-oxo- (9CI) (CA INDEX NAME)

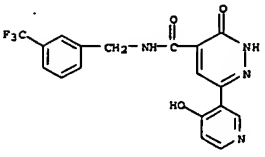
L4 ANSWER 6 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 691849-23-7 CAPLUS
CN 4-Pyridazinecarboxamide, 2,3-dihydro-6-(4-hydroxy-3-pyridinyl)-3-oxo-N-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

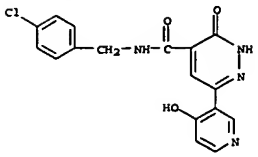


RN 691849-24-8 CAPLUS
CN 4-Pyridazinecarboxamide, 2,3-dihydro-6-(4-hydroxy-3-pyridinyl)-3-oxo-N-[[3-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

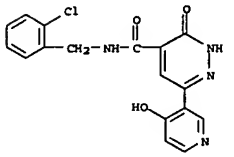


RN 691849-25-9 CAPLUS
CN 4-Pyridazinecarboxamide, 2,3-dihydro-6-(4-hydroxy-3-pyridinyl)-3-oxo-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

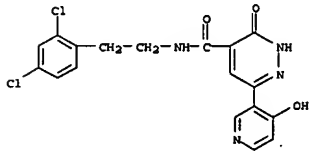
L4 ANSWER 6 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 691849-20-4 CAPLUS
CN 4-Pyridazinecarboxamide, N-[(2-chlorophenyl)methyl]-2,3-dihydro-6-(4-hydroxy-3-pyridinyl)-3-oxo- (9CI) (CA INDEX NAME)

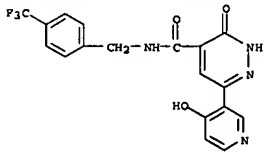


RN 691849-21-5 CAPLUS
CN 4-Pyridazinecarboxamide, N-[2-(2,4-dichlorophenyl)ethyl]-2,3-dihydro-6-(4-hydroxy-3-pyridinyl)-3-oxo- (9CI) (CA INDEX NAME)

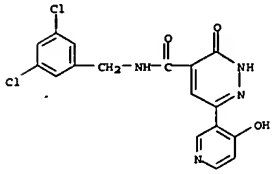


RN 691849-22-6 CAPLUS
CN 4-Pyridazinecarboxamide, N-(2,4-dichlorophenyl)-2,3-dihydro-6-(4-hydroxy-3-pyridinyl)-3-oxo- (9CI) (CA INDEX NAME)

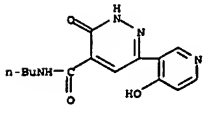
L4 ANSWER 6 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 691849-26-0 CAPLUS
CN 4-Pyridazinecarboxamide, N-[(3,5-dichlorophenyl)methyl]-2,3-dihydro-6-(4-hydroxy-3-pyridinyl)-3-oxo- (9CI) (CA INDEX NAME)

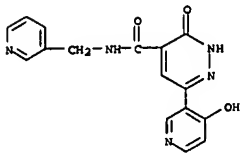


RN 691849-27-1 CAPLUS
CN 4-Pyridazinecarboxamide, N-butyl-2,3-dihydro-6-(4-hydroxy-3-pyridinyl)-3-oxo- (9CI) (CA INDEX NAME)

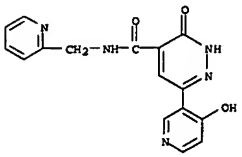


RN 691849-28-2 CAPLUS
CN 4-Pyridazinecarboxamide, 2,3-dihydro-6-(4-hydroxy-3-pyridinyl)-3-oxo-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

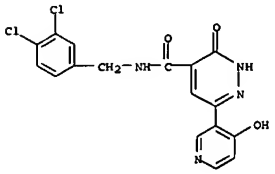
L4 ANSWER 6 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 691849-29-3 CAPLUS
CN 4-Pyridazinecarboxamide, 2,3-dihydro-6-(4-hydroxy-3-pyridinyl)-3-oxo-N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

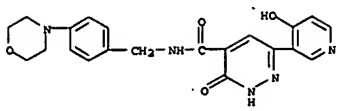


RN 691849-30-6 CAPLUS
CN 4-Pyridazinecarboxamide, N-[(3,4-dichlorophenyl)methyl]-2,3-dihydro-6-(4-hydroxy-3-pyridinyl)-3-oxo- (9CI) (CA INDEX NAME)

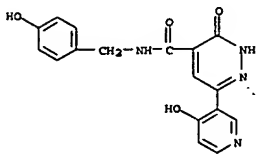


RN 691849-31-7 CAPLUS
CN 4-Pyridazinecarboxamide, 2,3-dihydro-6-(4-hydroxy-3-pyridinyl)-N-[[4-(4-morpholinyl)phenyl]methyl]-3-oxo- (9CI) (CA INDEX NAME)

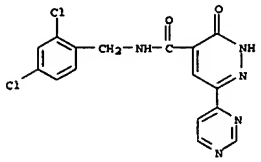
L4 ANSWER 6 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 691849-32-8 CAPLUS
CN 4-Pyridazinecarboxamide, 2,3-dihydro-N-[(4-hydroxyphenyl)methyl]-6-(4-hydroxy-3-pyridinyl)-3-oxo- (9CI) (CA INDEX NAME)

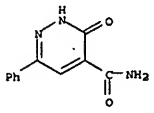


RN 691849-34-0 CAPLUS
CN 4-Pyridazinecarboxamide, N-[(2,4-dichlorophenyl)methyl]-2,3-dihydro-3-oxo-6-(4-pyrimidinyl)- (9CI) (CA INDEX NAME)



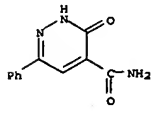
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:205958 CAPLUS
DOCUMENT NUMBER: 142:93705
TITLE: Product class 8: pyridazines
AUTHOR(S): Haider, N.; Holzer, W.
CORPORATE SOURCE: Germany
SOURCE: Science of Synthesis (2004), 16, 125-249
CODEN: SSCYJ9
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review. Methods of preparing pyridazines are reviewed including cyclization, ring transformation, aromatization, and substituent modification.
IT 87769-56-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pyridazines via cyclization, ring transformation, aromatization, and substituent modification)
RN 87769-56-0 CAPLUS
CN 4-Pyridazinecarboxamide, 2,3-dihydro-3-oxo-6-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 720 THERE ARE 720 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:293627 CAPLUS
DOCUMENT NUMBER: 139:94783
TITLE: 5-Aryl-pyrazolo[3,4-b]pyridazines: potent inhibitors of glycogen synthase kinase-3 (GSK-3)
AUTHOR(S): Witherington, Jason; Bordas, Vincent; Haigh, David; Hickey, Deirdre M. B.; Ife, Robert J.; Rawlings, Anthony D.; Slingsby, Brian P.; Smith, David G.; Ward, Robert W.
CORPORATE SOURCE: Neurology Centre of Excellence for Drug Discovery, Department of Medicinal Chemistry, GlaxoSmithKline Research Limited, Harlow, CM19 5AW, UK
SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(9), 1581-1584
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:94783
AB Introduction of a nitrogen atom into the 6-position of a series of pyrazolo[3,4-b]pyridines led to a dramatic improvement in the potency of GSK-3 inhibition. Rationalisation of the binding mode suggested participation of a putative structural water mol., which was subsequently confirmed by X-ray crystallog.
IT 87769-56-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(arylpyrazolopyridazines as potent inhibitors of glycogen synthase kinase-3)
RN 87769-56-0 CAPLUS
CN 4-Pyridazinecarboxamide, 2,3-dihydro-3-oxo-6-phenyl- (9CI) (CA INDEX NAME)



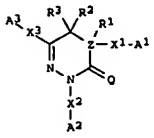
REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:220564 CAPLUS
DOCUMENT NUMBER: 136:263177
TITLE: Preparation of pyridazinones and triazinones exhibiting excellent inhibitory activities against AMPA receptor and/or kainate receptor
INVENTOR(S): Nagato, Satoshi; Kawano, Koki; Ito, Koichi; Norimine, Yoshihiko; Ueno, Kohshi; Hanada, Takahisa; Amino, Hiroyuki; Ogo, Makoto; Hatakeyama, Shinji; Ueno, Masataka; Groom, Anthony John; Rivers, Leanne; Smith, Terence
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 174 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002022587 | A1 | 20020321 | WO 2001-JP8058 | 20010917 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2001090229 | A5 | 20020326 | AU 2001-90229 | 20010917 |
| CA 2422589 | A1 | 20020317 | CA 2001-2422589 | 20010917 |
| EP 1319659 | A1 | 20030618 | EP 2001-970120 | 20010917 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| HU 200302508 | A2 | 20031229 | HU 2003-2508 | 20010917 |
| NZ 524745 | A | 20060127 | NZ 2001-524745 | 20010917 |
| RU 2279428 | C2 | 20060710 | RU 2003-111013 | 20010917 |
| ZA 2003001537 | A | 20040225 | ZA 2003-1537 | 20030225 |
| NO 2003001232 | A | 20030519 | NO 2003-1232 | 20030317 |
| US 2003225081 | A1 | 20031204 | US 2003-380783 | 20030318 |
| US 2006189622 | A1 | 20060824 | US 2006-408078 | 20060421 |

PRIORITY APPLN. INFO.: JP 2000-282636 A 20000918
JP 2000-289412 A 20000922
JP 2000-342614 A 20001109
GB 2001-2822 A 20010205
GB 2001-2824 A 20010205
WO 2001-JP8058 W 20010917
US 2003-380783 B1 20030318

L4 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
OTHER SOURCE(S): MARPAT 136:263177
GI



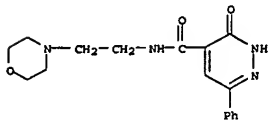
I

AB The title compds. [I; wherein A1, A2 and A3 are each independently C3-8 cycloalkyl, C3-8 cycloalkenyl, a 5- to 14-membered nonarom. heterocyclic group, a C6-14 aromatic carbocyclic group, or a 5- to 14-membered aromatic heterocyclic group, any of which may be substituted; Q is O, S, or NH; Z is C or N; X1, X2 and X3 are each independently a single bond, optionally substituted C1-6 alkylene, optionally substituted C2-6 alkenylene, optionally substituted C2-6 alkynylene, NH, O, NHCO, CONH, SO2, or the like; R1 and R2 are each independently hydrogen or optionally substituted C1-6 alkyl, or alternatively R1 and R2 may be united in such a way that CR2-ZR1 forms C:C; and R3 is hydrogen, optionally substituted C1-6 alkyl, C2-6 alkenyl, or C2-6 alkynyl, or alternatively R3 may unite with any atom on the ring A1 or A3 to form together with the atom an optionally substituted C5-8 carbocycle or an optionally substituted 5- to 8-membered heterocycle] or salts thereof, or hydrates of both are prepared These compds. do not inhibit N-methyl-D-aspartic acid (NMDA) receptor but they are excellent inhibitors of α-amino-3-hydroxy-5-methyl-4-isoxazolepropionic acid (AMPA) receptor and/or kainic acid receptor. They are useful for the prevention or treatment of acute neurodegenerative diseases, acute cerebral vascular disorders, head injury, spinal cord injury, nerve disorders caused by low oxygen or sugar level, chronic neurodegenerative diseases, Alzheimer's disease, Parkinson's diseases, Huntington's chorea, amyotrophic lateral sclerosis, spinocerebellar degeneration, epilepsy, hepatic encephalopathy, peripheral nerve disorder, Parkinson's syndrome, spastic hemiplegia (paralysis), pain, neuralgia, schizophrenia, anxiety, drug dependence, nausea, vomiting, urination disorder, eye sight disorder caused by glaucoma, hearing disorders caused by antibiotics, food poisoning, infectious encephalomyelitis (including HIV encephalomyelitis), cerebral vascular dementia, dementia caused by meningitis, and nerve diseases. They are also used for treatment or prevention of demyelinating diseases including encephalitis, acute disseminated encephalomyelitis, multiple sclerosis, acute multiple neuritis, Guillain-Barre syndrome, chronic inflammatory demyelinating multiple nerve disorders, Marchifava-Bignami disease, central bulbopontine breakdown, optic nerve myelitis, Devic's disease (neuromyelitis optica),

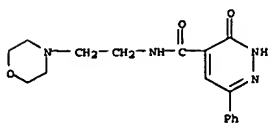
L4 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
Balo's disease, HIV myelopathy, HTLV myelopathy, progressive white substance encephalopathy or secondary demyelinating diseases (including central nervous system erythematodes, tuberous multiple polyarteritis, Sjoegren syndrome, sarcoidosis, or cerebral angiitis). Thus, to a soln. of 75 mg 2-(2-iodophenyl)-4-(3-pyridyl)-2,3-dihydro-5H-[1]benzopyrano[4,3-c]pyridazin-3-one in 2 mL 1-methyl-2-pyrrolidone were added 55 mg Zn(CN)2 and 5 mg tetrakis(triphenylphosphine)palladium and stirred at 100° for 1 h to give 34 mg 2-(2-cyanophenyl)-4-(3-pyridyl)-2,3-dihydro-5H-[1]benzopyrano[4,3-c]pyridazin-3-one (II). II inhibited the AMPA-induced influx of Ca into rat fetal cerebral cortex nerve cells with IC50 of 0.02 μM.

IT 404933-57-9P, 6-Phenyl-4-(((2-morpholinoethyl)amino)carbonyl)-2H-pyridazin-3-one 404933-59-1P, 6-Phenyl-4-(((2-morpholinoethyl)amino)carbonyl)-2H-pyridazin-3-one hydrochloride
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyridazinones and triazinones exhibiting excellent inhibitory activities against AMPA receptor and/or kainate receptor for treatment or prevention of acute or chronic neurodegenerative diseases)

RN 404933-57-9 CAPLUS
CN 4-Pyridazinecarboxamide, 2,3-dihydro-N-[2-(4-morpholinyl)ethyl]-3-oxo-6-phenyl- (9CI) (CA INDEX NAME)



RN 404933-59-1 CAPLUS
CN 4-Pyridazinecarboxamide, 2,3-dihydro-N-[2-(4-morpholinyl)ethyl]-3-oxo-6-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)



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L4 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR

L4 ANSWER 10 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:576914 CAPLUS
DOCUMENT NUMBER: 131:228727
TITLE: Preparation of pyridazine derivatives as interleukin 1β production inhibitors
INVENTOR(S): Ohkuchi, Masao; Kyotani, Yoshinori; Shigyo, Hiromichi; Yoshizaki, Hideo; Koshi, Tomoyuki; Kitamura, Takahiro; Matsuda, Takayuki; Oda, Soichi; Habata, Yuriko; Kotaki, Kyoko
PATENT ASSIGNEE(S): Kowa Co., Ltd., Japan; et al.
SOURCE: PCT Int. Appl., 112 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9944995 | A1 | 19990910 | WO 1999-JP925 | 19990226 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| TW 241295 | B | 20051011 | TW 1999-88102854 | 19990225 |
| CA 2321254 | A1 | 19990910 | CA 1999-2321254 | 19990226 |
| AU 9926414 | A | 19990920 | AU 1999-26414 | 19990226 |
| AU 739431 | B2 | 20011011 | | |
| EP 1061077 | A1 | 20001220 | EP 1999-906509 | 19990226 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI | | | | |
| NZ 506144 | A | 20011130 | NZ 1999-506144 | 19990226 |
| HU 200101461 | A2 | 20020328 | HU 2001-1461 | 19990226 |
| RU 2221790 | C2 | 20040120 | RU 2000-124879 | 19990226 |
| US 6403586 | B1 | 20020611 | US 2000-622897 | 20000831 |
| NO 2000004353 | A | 20000901 | NO 2000-4353 | 20000901 |
| HK 1035194 | A1 | 20040820 | HK 2001-105912 | 20010822 |

PRIORITY APPLN. INFO.: JP 1998-49396 A 19980302
WO 1999-JP925 W 19990226

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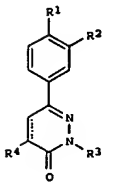
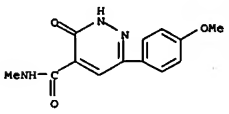
L4 ANSWER 10 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



I

AB The title compds. I [R1 represents lower alkoxy, lower alkylthio or halogeno; R2 represents H, lower alkoxy, lower alkylthio or halogeno; R3 represents OH, CN, halogeno, lower cycloalkyl, lower alkyl or lower alkenyl optionally substituted by an optionally substituted aromatic group or optionally substituted carbamoyl; R4 represents COOH, lower alkoxycarbonyl, optionally substituted carbamoyl, optionally substituted amino or optionally substituted ureido; and the dotted line means a single bond or a double bond between the carbon atoms at the 4- and 5-positions] are prepared I are useful as preventives/remedies for immunol. diseases, inflammatory diseases, ischemic diseases, etc. In an in vitro test using cells, 2-cyclopropylmethyl-6-(4-methoxyphenyl)-4-methylcarbamoyl-2H-pyridazin-3-one showed IC50 of 0.038 μM against lipopolysaccharide-induced interleukin 1 β production
IT 243862-95-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyridazine derivs. as interleukin 1β production inhibitors)
RN 243862-95-5 CAPLUS
CN 4-Pyridazinecarboxamide, 2,3-dihydro-6-(4-methoxyphenyl)-N-methyl-3-oxo- (9CI) (CA INDEX NAME)



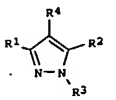
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:325927 CAPLUS
DOCUMENT NUMBER: 130:338106
TITLE: Preparation of pyrazole derivatives as adenosine A1 and A2 antagonists
INVENTOR(S): Akahane, Atsushi; Kuroda, Satoru; Itani, Hiromichi
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

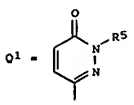
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9924424 | A1 | 19990520 | WO 1998-JP4892 | 19981028 |
| W: CA, CN, JP, KR, US | | | | |
| RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |

PRIORITY APPLN. INFO.: JP 1997-306167 A 19971107

OTHER SOURCE(S): MARPAT 130:338106
GI

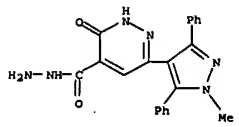


I



AB The title compds. I [R1 and R2 may be the same or different and each represents optionally substituted aryl; R3 represents hydrogen, lower alkyl, or optionally substituted ar(lower)alkyl; and R4 represents Q1 (wherein R5 represents optionally substituted ar(lower)alkyl or lower alkanoyl(lower)alkyl), etc.], useful as adenosine A1 and A2 antagonists (no data), are prepared I may serve as preventives and/or remedies for ischemic heart diseases such as angina pectoris, peripheral vascular diseases such as claudication, cerebral ischemia, migraine, diabetes, melancholia, Parkinson's disease, etc. (no data). For example, 3,5-diphenyl-4-[2-(3-methoxybenzyl)-3-oxo-2,3-dihydropyridazin-6-yl]pyrazole was prepared
IT 224573-04-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazole derivs. as adenosine A1 and A2 antagonists)
RN 224573-04-0 CAPLUS
CN 4-Pyridazinecarboxylic acid, 2,3-dihydro-6-(1-methyl-3,5-diphenyl-1H-pyrazol-4-yl)-3-oxo-, hydrazide (9CI) (CA INDEX NAME)

L4 ANSWER 11 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



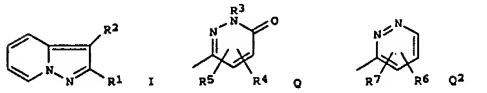
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1997:558055 CAPLUS
DOCUMENT NUMBER: 127:262667
TITLE: Preparation of pyrazolo[1,5-a]pyridine derivatives as adenosine antagonists and their pharmaceutical uses
INVENTOR(S): Kuroda, Satoshi; Itani, Hiromichi; Akabane, Atsushi
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 17 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 09216883 | A | 19970819 | JP 1996-24146 | 19960209 |
| PRIORITY APPLN. INFO.: | | | JP 1996-24146 | 19960209 |

OTHER SOURCE(S): MARPAT 127:262667
GI

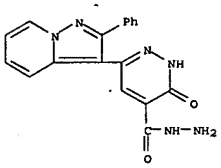


AB The derivs. I [R1 = aryl; R2 = oxodihydropyridazinyl Q [R3 = H, lower alkyl, acyl-lower alkyl, acyl-lower alkanoyl-lower alkyl, (un)substituted heterocyclyl, (un)substituted lower aralkyl; R4 = H, acyl, cyano, heterocyclyl, lower hydroxyalkyl, (unprotected) amino; R5 = H, lower alkyl; R4 and/or R5 = substituent], pyridazinyl Q1 [R6 = halo, lower alkoxy, (un)substituted arylamino; R7 = acyl, lower hydroxyalkyl]] or their pharmaceutically acceptable salts are claimed. Also claimed are pharmaceuticals containing I or their salts and carriers. I show cognition-enhancing, analgesic, antidepressant, vasodilating, diuretic, cardiotonic, renal circulation-increasing, lipolysis-promoting, antiasthmatic, insulin secretion-promoting, platelet aggregation-inhibiting effects, etc., and are especially useful as cardiac infarction inhibitors, antihypertensives, renal failure inhibitors, and diuretics. 3-Propionyl-2-phenylpyrazolo[1,5-a]pyridine (0.50 g), prepared by acylation of 2-phenylpyrazolo[1,5-a]pyridine with (EtCO)2O, was successively treated with CO(CO2Et)2 at 100° for 65 h then with H2NNH2.H2O at 125° for 8 h to give 0.42 g 3-[4-(2-isopropylidenehydrazino)carbony l-5-methyl-3-oxo-2,3-dihydropyridazin-6-yl]-2-phenylpyrazolo[1,5-a]pyridine.

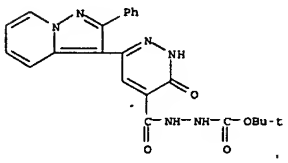
IT 195826-98-3P 195827-00-0P 195827-01-1P
195827-02-2P 195827-03-3P 195827-04-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyrazolo[1,5-a]pyridine derivs. as adenosine antagonists and their pharmaceutical uses)

L4 ANSWER 12 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

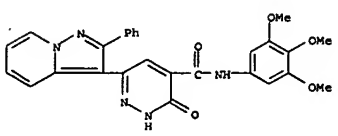
RN 195826-98-3 CAPLUS
CN 4-Pyridazinecarboxylic acid, 2,3-dihydro-3-oxo-6-(2-phenylpyrazolo[1,5-a]pyridin-3-yl)-, hydrazide (9CI) (CA INDEX NAME)



RN 195827-00-0 CAPLUS
CN 4-Pyridazinecarboxylic acid, 2,3-dihydro-3-oxo-6-(2-phenylpyrazolo[1,5-a]pyridin-3-yl)-, 2-[(1,1-dimethylethoxy)carbonyl]hydrazide (9CI) (CA INDEX NAME)



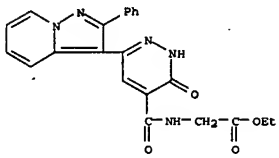
RN 195827-01-1 CAPLUS
CN 4-Pyridazinecarboxamide, 2,3-dihydro-3-oxo-6-(2-phenylpyrazolo[1,5-a]pyridin-3-yl)-N-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)



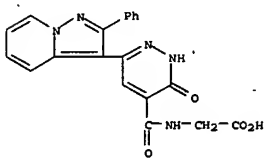
RN 195827-02-2 CAPLUS

L4 ANSWER 12 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

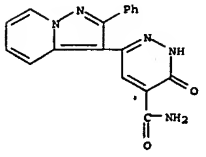
CN Glycine, N-[[2,3-dihydro-3-oxo-6-(2-phenylpyrazolo[1,5-a]pyridin-3-yl)-4-pyridazinyl]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 195827-03-3 CAPLUS
CN Glycine, N-[[2,3-dihydro-3-oxo-6-(2-phenylpyrazolo[1,5-a]pyridin-3-yl)-4-pyridazinyl]carbonyl]- (9CI) (CA INDEX NAME)



RN 195827-04-4 CAPLUS
CN 4-Pyridazinecarboxamide, 2,3-dihydro-3-oxo-6-(2-phenylpyrazolo[1,5-a]pyridin-3-yl)- (9CI) (CA INDEX NAME)

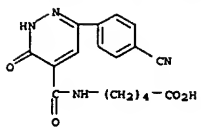


L4 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1993:625966 CAPLUS
DOCUMENT NUMBER: 119:225966
TITLE: Preparative and biological activity of aryl substituted nitrogen containing heterocycles
INVENTOR(S): Linz, Guenter; Pieper, Helmut; Himmelsbach, Frank; Austel, Volkhard; Mueller, Thomas; Weisenberger, Johannes; Seewaldt-Becker, Elke
PATENT ASSIGNEE(S): Thomae, Dr. Karl, G.m.b.H., Germany
SOURCE: Eur. Pat. Appl., 47 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

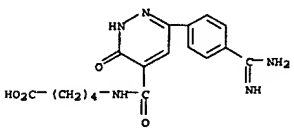
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 537696 | A1 | 19930421 | EP 1992-117507 | 19921014 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE | | | | |
| DE 4134467 | A1 | 19930422 | DE 1991-4134467 | 19911018 |
| US 5418233 | A | 19950523 | US 1992-961135 | 19921014 |
| CA 2080748 | A1 | 19930419 | CA 1992-2080748 | 19921016 |
| NO 9204027 | A | 19930419 | NO 1992-4027 | 19921016 |
| AU 9227062 | A | 19930422 | AU 1992-27062 | 19921016 |
| AU 662930 | B2 | 19950921 | | |
| HU 62272 | A2 | 19930428 | HU 1992-3264 | 19921016 |
| JP 05221992 | A | 19930831 | JP 1992-277578 | 19921016 |
| ZA 9207994 | A | 19940418 | ZA 1992-7994 | 19921016 |
| US 5563268 | A | 19961008 | US 1995-375084 | 19950119 |
| PRIORITY APPLN. INFO.: | | | DE 1991-4134467 A | 19911018 |
| | | | US 1992-961135 A3 | 19921014 |

OTHER SOURCE(S): MARPAT 119:225966
AB The preparation of title compds. with fibrinogen-binding, thromboxane, and blood platelet aggregation inhibitor activity is claimed. Thus, reaction of 6-(4-amidinophenyl)-4-[[4-(methoxycarbonyl)butyl]aminocarbonyl]-2-methyl-(2H)-pyridazin-3-one (preparation given) with LiOH.H2O in a mixture of THF-H2O gave 91.1 6-(4-amidinophenyl)-4-[(4-carboxybutyl)aminocarbonyl]-2-methyl-(2H)-pyridazin-3-one. Similarly, a number of pyridazinone and pyrimidine derivs. were prepared and their biol. activity is described.
IT 150594-47-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of thromboxane formation inhibitor)
RN 150594-47-1 CAPLUS
CN Pentanoic acid, 5-[[[6-(4-cyanophenyl)-2,3-dihydro-3-oxo-4-pyridazinyl]carbonyl]amino]- (9CI) (CA INDEX NAME)

L4 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

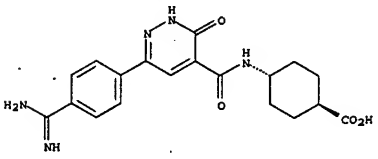


IT 150594-75-5P 150594-91-5P 150595-00-9P
150595-14-5P 150595-38-3P.
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and thromboxane formation inhibiting activity of)
RN 150594-75-5 CAPLUS
CN Pentanoic acid, 5-[[[6-[4-(aminoiminomethyl)phenyl]-2,3-dihydro-3-oxo-4-pyridazinyl]carbonyl]amino]- (9CI) (CA INDEX NAME)



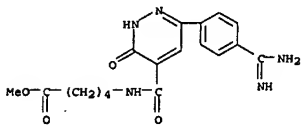
RN 150594-91-5 CAPLUS
CN Cyclohexanecarboxylic acid, 4-[[[6-[4-(aminoiminomethyl)phenyl]-2,3-dihydro-3-oxo-4-pyridazinyl]carbonyl]amino]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



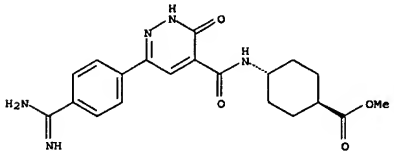
RN 150595-00-9 CAPLUS
CN Pentanoic acid, 5-[[[6-[4-(aminoiminomethyl)phenyl]-2,3-dihydro-3-oxo-4-pyridazinyl]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 150595-14-5 CAPLUS
CN Cyclohexanecarboxylic acid, 4-[[[6-[4-(aminoiminomethyl)phenyl]-2,3-dihydro-3-oxo-4-pyridazinyl]carbonyl]amino]-, methyl ester, hydrochloride, trans- (9CI) (CA INDEX NAME)

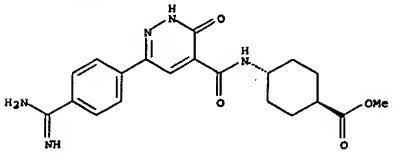
Relative stereochemistry.



●x HCl

RN 150595-38-3 CAPLUS
CN Cyclohexanecarboxylic acid, 4-[[[6-[4-(aminoiminomethyl)phenyl]-2,3-dihydro-3-oxo-4-pyridazinyl]carbonyl]amino]-, methyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

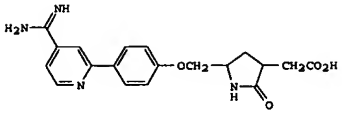


L4 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

L4 ANSWER 14 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1993:517098 CAPLUS
DOCUMENT NUMBER: 119:117098
TITLE: Preparation of 2-pyrrolidinone-3-acetates and analogs as cell aggregation inhibitors
INVENTOR(S): Austel, Volkhard; Eisert, Wolfgang; Himmelsbach, Frank; Linz, Guenter; Mueller, Thomas; Pieper, Helmut; Weisenberger, Johannes
PATENT ASSIGNEE(S): Thomae, Dr. Karl, G.m.b.H., Germany
SOURCE: Eur. Pat. Appl., 73 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 528369 | A2 | 19930224 | EP 1992-113877 | 19920814 |
| EP 528369 | A3 | 19930421 | | |
| EP 528369 | B1 | 19991124 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE | | | | |
| DE 4127404 | A1 | 19930225 | DE 1991-4127404 | 19910819 |
| AT 186906 | T | 19991215 | AT 1992-113877 | 19920814 |
| CA 2076311 | A1 | 19930220 | CA 1992-2076311 | 19920818 |
| NO 9203235 | A | 19930222 | NO 1992-3235 | 19920818 |
| AU 9221119 | A | 19930225 | AU 1992-21119 | 19920818 |
| AU 654372 | B2 | 19941103 | | |
| JP 06025227 | A | 19940201 | JP 1992-219149 | 19920818 |
| ZA 9206205 | A | 19940218 | ZA 1992-6205 | 19920818 |
| IL 102847 | A | 19961114 | IL 1992-102847 | 19920818 |
| US 5455348 | A | 19951003 | US 1993-173603 | 19931223 |
| PRIORITY APPLN. INFO.: | | | DE 1991-4127404 A | 19910819 |
| | | | US 1992-929870 B1 | 19920814 |

OTHER SOURCE(S): MARPAT 119:117098
GI



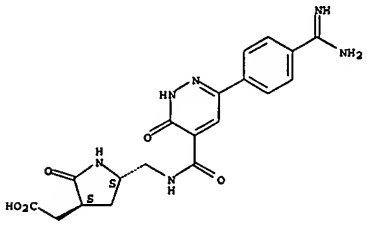
I

AB EYAX1X2X3X4X5B [A = (substituted) bivalent (oxo)alkyleneimino; B = NH2, C(:NH)NH2, NHC(:NH)NH2, etc.; E = CO2H, alkoxycarbonyl, etc.; X1 = bond, alkylene; X2 = bond, O, NH, SO2NH, etc.; X3, X5 = (hetero)cycloalkylene, (hetero)arylene, etc.; X4 = bond, O, CH2, CO, NH, etc.; X3X4X5 = phenylene, (CH2)3-5, etc.; Y = alkylene, NHCH2, OCH2, etc.] were prepd. Thus, 4-(5-cyano-2-pyridyl)phenol (prepn. given) was condensed with (3S,5S)-3-[(tert-butyloxycarbonyl)methyl]-5-[(methanesulfonyloxy)methyl]-2-pyrrolidinone and the product converted in 2 steps to title compd. (3S,5S)-I which had EO50 of 0.06 μM against collagen-induced platelet aggregation in vitro.

IT 149354-60-9P 149354-62-1P 149354-79-0P
149355-41-9P 149355-53-3P 149377-23-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as cell aggregation inhibitor)

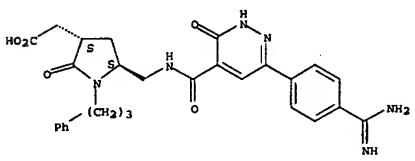
RN 149354-60-9 CAPLUS
CN 3-Pyrrolidineacetic acid, 5-[[[[6-[4-(aminoiminomethyl)phenyl]-2,3-dihydro-3-oxo-4-pyridazinyl]carbonyl]amino]methyl]-2-oxo-, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



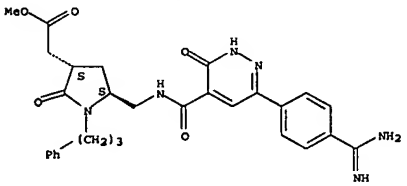
RN 149354-62-1 CAPLUS
CN 3-Pyrrolidineacetic acid, 5-[[[[6-[4-(aminoiminomethyl)phenyl]-2,3-dihydro-3-oxo-4-pyridazinyl]carbonyl]amino]methyl]-2-oxo-1-(3-phenylpropyl)-, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 149354-79-0 CAPLUS
CN 3-Pyrrolidineacetic acid, 5-[[[[6-[4-(aminoiminomethyl)phenyl]-2,3-dihydro-3-oxo-4-pyridazinyl]carbonyl]amino]methyl]-2-oxo-1-(3-phenylpropyl)-, methyl ester, monohydrochloride, (3S-trans)- (9CI) (CA INDEX NAME)

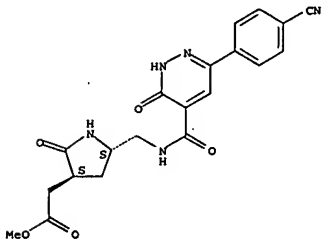
Absolute stereochemistry.



● HCl

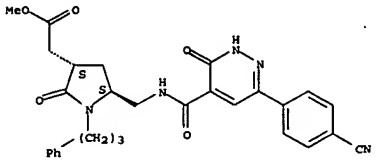
RN 149355-41-9 CAPLUS
CN 3-Pyrrolidineacetic acid, 5-[[[[6-(4-cyanophenyl)-2,3-dihydro-3-oxo-4-pyridazinyl]carbonyl]amino]methyl]-2-oxo-, methyl ester, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 149355-53-3 CAPLUS
CN 3-Pyrrolidineacetic acid, 5-[[[[6-(4-cyanophenyl)-2,3-dihydro-3-oxo-4-pyridazinyl]carbonyl]amino]methyl]-2-oxo-1-(3-phenylpropyl)-, methyl ester, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

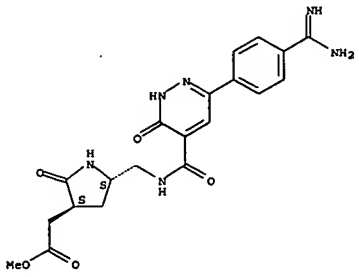


RN 149377-23-1 CAPLUS
CN 3-Pyrrolidineacetic acid, 5-[[[[6-[4-(aminoiminomethyl)phenyl]-2,3-dihydro-3-oxo-4-pyridazinyl]carbonyl]amino]methyl]-2-oxo-, methyl ester, monohydrochloride, (3S-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 14 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

PAGE 1-A



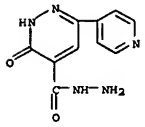
PAGE 2-A

● HCl

L4 ANSWER 15 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 80843-46-5 CAPLUS

CN 4-Pyridazinecarboxylic acid, 2,3-dihydro-3-oxo-6-(4-pyridinyl)-, hydrazide (9CI) (CA INDEX NAME)



L4 ANSWER 15 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1991:206976 CAPLUS

DOCUMENT NUMBER: 114:206976

TITLE: Synthesis of aza analogs of amrinone

AUTHOR(S): Singh, Baldev; Lesher, George Y.

CORPORATE SOURCE: Dep. Med. Chem., Sterling Res. Group, Rensselaer, NY, 12144, USA

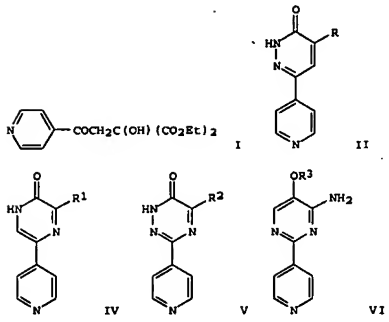
SOURCE: Heterocycles (1990), 31(12), 2163-72
CODEN: HTCYAM; ISSN: 0385-5414

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 114:206976

GI



AB The aldol condensation product I of 4-acetylpyridine and CO(CO2Et)2 was converted to pyridazinecarboxylic acid hydrazide II (R = CONHNH2)(III). Curtius reaction of III gave aminopyridazinone II (R = NH2). The condensation of (4-pyridyl)glyoxal with aminomalonamide H2NCH(CONH2)2 yielded pyrazinecarboxamide IV (R1 = CONH2) which was transformed to aminopyrazinone IV (R1 = NH2) by the Hofmann reaction. Curtius reaction of 1,2,4-triazinone-5-carboxylic acid V (R2 = CO2H) gave aminotriazinone V (R2 = NH2). Demethylation of methoxypyrimidine VI (R3 = Me) gave pyrimidinol VI (R3 = H).

IT 80843-46-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and Curtius reaction of)

L4 ANSWER 16 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1990:91460 CAPLUS

DOCUMENT NUMBER: 112:91460

TITLE: Pyridazines. Part 43. Pyridazine analogs of biologically active compounds. Part 5: Novel potential cardiotonics of the amrinone type

AUTHOR(S): Haider, N.; Heinisch, G.; Offenberger, Sigrid

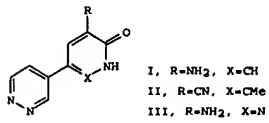
CORPORATE SOURCE: Inst. Pharm. Chem., Univ. Vienna, Vienna, A-1090, Austria

SOURCE: Pharmazie (1989), 44(9), 598-601
CODEN: PHARAT; ISSN: 0031-7144

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



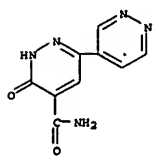
I, R=NH2, X=CH
II, R=CN, X=CMe
III, R=NH2, X=N

AB Preparation of a series of novel pyridazine derivs. structurally related to bipyridine cardiotonics, starting from 4-methylpyridazine or 4-acetylpyridazine, resp., is described. As observed with I, II and III, an enhancement of in vitro cardiotonic activity was associated with the replacement of one or both pyridine subunit(s) in amrinone or milrinone by a 1,2-diazine system.

IT 125375-18-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and Hofmann degradation of)

RN 125375-18-0 CAPLUS

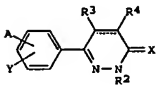
CN [3,4'-Bipyridazine]-5-carboxamide, 1,6-dihydro-6-oxo- (9CI) (CA INDEX NAME)



L4 ANSWER 17 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1989:497259 CAPLUS
DOCUMENT NUMBER: 111:97259
TITLE: Preparation of phenylpyridazinone derivatives as cardiotonics and antihypertensives
INVENTOR(S): Sircar, Ila; Bristol, James A.
PATENT ASSIGNEE(S): Warner-Lambert Co., USA
SOURCE: U.S., 19 pp. Cont.-in-part of U.S. Ser. No. 407,973.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 4734415 | A | 19880329 | US 1983-477695 | 19830322 |
| US 4353905 | A | 19821012 | US 1981-302181 | 19810917 |
| PRIORITY APPLN. INFO.: | | | US 1981-302181 | A2 19810917 |
| | | | US 1982-402488 | A2 19820727 |
| | | | US 1982-407973 | A2 19820813 |

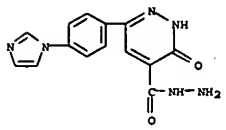
OTHER SOURCE(S): CASREACT 111:97259; MARPAT 111:97259
GI



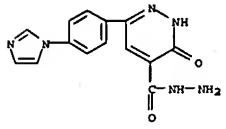
I

AB The title compds. [I; dotted line represents single or double bond; X = O, S; R2 = H, lower alkyl; R3 = H, lower alkyl; when dotted line represents a single bond, R3 = dilower alkyl; R4 = H, lower alkyl; or when dotted line represents a double bond, R4 = H, lower alkylamino, cyano, OH, CH2OH, CONR5R6, etc.; R3R4 = atoms to complete a carbocycle of 3-6 atoms; R5, R6 = H, alkyl; Y = H, halo, lower alkyl, alkoxy etc.; A = R1Z; R1 = N-attached, (un)substituted, 5- or 6-membered heterocyclyl, optionally containing other hetero atoms; Z = bond, (CH2)nO in the 4-position; n = 2-5] and their pharmaceutically acceptable salts, useful as cardiotonics and antihypertensives, were prepared
IT 97150-66-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of cardiotonic and antihypertensive)
RN 97150-66-8 CAPLUS

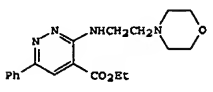
L4 ANSWER 17 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CN 4-Pyridazinecarboxylic acid, 2,3-dihydro-6-[4-(1H-imidazol-1-yl)phenyl]-3-oxo-, hydrazide (9CI) (CA INDEX NAME)



IT 97150-66-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of pyridazinone cardiotonic and antihypertensive)
RN 97150-66-8 CAPLUS
CN 4-Pyridazinecarboxylic acid, 2,3-dihydro-6-[4-(1H-imidazol-1-yl)phenyl]-3-oxo-, hydrazide (9CI) (CA INDEX NAME)

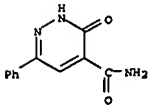


L4 ANSWER 18 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1989:114776 CAPLUS
DOCUMENT NUMBER: 110:114776
TITLE: 3-Aminopyridazine derivatives with atypical antidepressant, serotonergic and dopaminergic activities
AUTHOR(S): Wermuth, Camille Georges; Schlewer, Gilbert; Bourguignon, Jean Jacques; Maghioros, Georges; Bouchet, Marie Jeanne; Moire, Claudine; Kan, Jean Paul; Worms, Paul; Biziere, Kathleen
CORPORATE SOURCE: Dep. Pharmacochim. Mol., Univ. Louis Pasteur, Strasbourg, 67084, Fr.
SOURCE: Journal of Medicinal Chemistry (1989), 32(3), 528-37
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 110:114776
GI

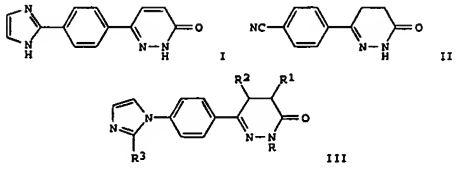


I

AB Forty-seven substituted analogs of minaprine, e.g., I, were synthesized and tested for their potential antidepressant, serotonergic, and dopaminergic activities. The structure-activity relationships show that dopaminergic and serotonergic activities can be dissociated Serotonergic activity appears to be correlated mainly with the substituent in the 4-position of the pyridazine ring whereas the dopaminergic activity appears to be dependent on the presence, or in the formation, of a para-hydroxylated aryl ring in the 6-position of the pyridazine ring.
IT 87769-56-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and chlorination of)
RN 87769-56-0 CAPLUS
CN 4-Pyridazinecarboxamide, 2,3-dihydro-3-oxo-6-phenyl- (9CI) (CA INDEX NAME)



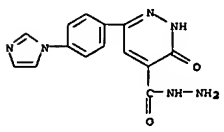
L4 ANSWER 19 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1987:598252 CAPLUS
DOCUMENT NUMBER: 107:198252
TITLE: Cardiotonic agents. 7. Inhibition of separated forms of cyclic nucleotide phosphodiesterase from guinea pig cardiac muscle by 4,5-dihydro-6-[4-(1H-imidazol-1-yl)phenyl]-3(2H)-pyridazinones and related compounds. Structure-activity relationships and correlation with in vivo positive inotropic activity
AUTHOR(S): Sircar, Ila; Weishaar, Ronald E.; Kobylarz, Dianne; Moos, Walter H.; Bristol, James A.
CORPORATE SOURCE: Dep. Chem., Warner-Lambert/Parke-Davis Pharm. Res., Ann Arbor, MI, 48105, USA
SOURCE: Journal of Medicinal Chemistry (1987), 30(11), 1955-62
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 107:198252
GI



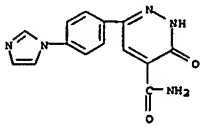
I II III

AB Imidazolylphenylpyrazolinone I was prepared from benzonitrile II. The structure-activity relationships of a series of 4,5-dihydro-6-[4-(1H-imidazol-1-yl)phenyl]-3(2H)-pyridazinones, e.g., III (R = H, Me, CH2Ph, CH2CH2OH, CH2CH2OAc; R1 = H, Me, NH2, CONH2; R2 = H, Me, Et; R3 = H, Me, SH, SMe, SOMe, Et), I and related compds. were investigated for the in vivo inhibition of different forms of cyclic nucleotide phosphodiesterase (PDE) isolated from guinea pig ventricular muscle. With few exceptions, these 4,5-dihydropyridazinones were potent inhibitors of cardiac type III phosphodiesterase, which is a low Km, cAMP specific form of the enzyme. The inhibitory effects on cardiac type I and type II phosphodiesterase, both of which hydrolyze cAMP as well as cyclic GMP, were minimal. The most selective PDE III inhibitor was CI-930 III (R = R1 = R3 = H, R2 = Me) (IV), the 5-Me analog of imazodan III (R = R3 = H) with an ED50 of 0.6 μM. The most potent inhibitor of PDE III was the 4,5,6,7-tetrahydrobenzimidazole analog of IV, with an ED50 of 0.15 μM. The structural features that impart both selectivity for inhibiting type III phosphodiesterase and potency of inhibition and correlations between in vitro PDE inhibitory potency, in vivo pos. inotropic potency, and physicochem. properties are discussed.
IT 97150-66-8 97150-67-9

L4 ANSWER 19 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RL: RCT (Reactant); RACT (Reactant or reagent)
(phosphodiesterase inhibitory activity of)
RN 97150-66-8 CAPLUS
CN 4-Pyridazinecarboxylic acid,
2,3-dihydro-6-[4-(1H-imidazol-1-yl)phenyl]-3-oxo-, hydrazide (9CI) (CA INDEX NAME)



RN 97150-67-9 CAPLUS
CN 4-Pyridazinecarboxamide,
2,3-dihydro-6-[4-(1H-imidazol-1-yl)phenyl]-3-oxo- (9CI) (CA INDEX NAME)



L4 ANSWER 20 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

L4 ANSWER 20 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1987:213880 CAPLUS
DOCUMENT NUMBER: 106:213880
TITLE: The reaction of pyridazinones with nucleophiles. An unusual reaction with cyanide
AUTHOR(S): Badger, Edward W.; Moos, Walter H.
CORPORATE SOURCE: Dep. Chem., Warner-Lambert/Parke-Davis Pharm. Res., Ann Arbor, MI, 48105, USA
SOURCE: Journal of Heterocyclic Chemistry (1986), 23(5), 1515-17
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 106:213880
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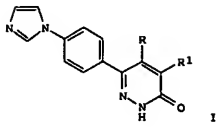
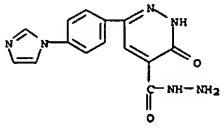


I

AB Studies on the synthesis of pyridazinone analogs of pyridone cardiotonics are reported. The synthetic scheme involves the reaction of pyridazinones and chloropyridazinones I (R = H, R1 = H, Cl) with nucleophiles. Addition occurred twice with cyanide as the nucleophile, thus providing a novel dicyanopyridazinone I (R = R1 = cyano).
IT 97150-66-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(Curtis rearrangement of)
RN 97150-66-8 CAPLUS
CN 4-Pyridazinecarboxylic acid,
2,3-dihydro-6-[4-(1H-imidazol-1-yl)phenyl]-3-oxo-, hydrazide (9CI) (CA INDEX NAME)



L4 ANSWER 20 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

L4 ANSWER 21 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1985:560462 CAPLUS
DOCUMENT NUMBER: 103:160462
TITLE: Cardiotonic agents. 2. Synthesis and structure-activity relationships of 4,5-dihydro-6-[4-(H-imidazol-1-yl)phenyl]-3(2H)-pyridazinones: a new class of positive inotropic agents
AUTHOR(S): Sircar, Ila; Duell, Bradley L.; Bobowski, George; Bristol, James A.; Evans, Dale B.
CORPORATE SOURCE: Dep. Chem., Warner-Lambert/Parke-Davis Pharm. Res., Ann Arbor, MI, 48105, USA
SOURCE: Journal of Medicinal Chemistry (1985), 28(10), 1405-13
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 103:160462
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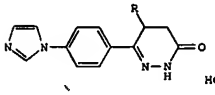
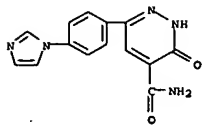


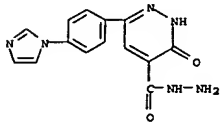
HCl I

AB A series of 4,5-dihydro-6-[4-(1H-imidazol-1-yl)phenyl]-3(2H)-pyridazinones and related compds. were synthesized and evaluated for pos. inotropic activity. Most members of this series produced dose-related increases in myocardial contractility that were associated with relative minor increases in heart rate and decreases in systemic arterial blood pressure. Introduction of a Me substituent at the 5-position of pyridazinone I (R = H) (II) produced the most potent compound in this series, I (R = Me) (III). Compound II is more potent than amrinone whereas compound III is more potent than milrinone. The inotropic effects of II and III are not mediated via stimulation of β-adrenergic receptors. Selective inhibition of cardiac phosphodiesterase fraction III represents the principal component of the pos. inotropic action of II and III.
IT 97150-67-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and inotropic activity of)
RN 97150-67-9 CAPLUS
CN 4-Pyridazinecarboxamide,
2,3-dihydro-6-[4-(1H-imidazol-1-yl)phenyl]-3-oxo- (9CI) (CA INDEX NAME)

L4 ANSWER 21 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



IT 97150-66-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, hydrolysis, and inotropic activity of)
RN 97150-66-8 CAPLUS
CN 4-Pyridazinecarboxylic acid, 2,3-dihydro-6-[4-(1H-imidazol-1-yl)phenyl]-3-oxo-, hydrazide (9CI) (CA INDEX NAME)



L4 ANSWER 22 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1985:62255 CAPLUS
DOCUMENT NUMBER: 102:62255
TITLE: Pyridazine derivative having a psychotropic action and medicines containing them
INVENTOR(S): Kan, Jean Paul; Biziere, Kathleen; Wermuth, Camille Georges
PATENT ASSIGNEE(S): Sanofi, Fr.
SOURCE: Fr. Demande, 12 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| FR 2540115 | A1 | 19840803 | FR 1983-1366 | 19830128 |
| FR 2540115 | B1 | 19850607 | | |
| US 4565814 | A | 19860121 | US 1984-571696 | 19840118 |
| CA 1218655 | A1 | 19870303 | CA 1984-445482 | 19840118 |
| DK 8400259 | A | 19840729 | DK 1984-259 | 19840120 |
| DK 159969 | B | 19910107 | | |
| DK 159969 | C | 19910527 | | |
| ZA 8400500 | A | 19840829 | ZA 1984-500 | 19840123 |
| IL 70755 | A | 19870331 | IL 1984-70755 | 19840123 |
| AU 8423728 | A | 19840802 | AU 1984-23728 | 19840124 |
| AU 566352 | B2 | 19871015 | | |
| ES 529108 | A1 | 19841001 | ES 1984-529108 | 19840124 |
| EP 116494 | A1 | 19840822 | EP 1984-400157 | 19840125 |
| EP 116494 | B1 | 19880127 | | |
| R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE | | | | |
| AT 32220 | T | 19880215 | AT 1984-400157 | 19840125 |
| FI 8400349 | A | 19840729 | FI 1984-349 | 19840127 |
| FI 77453 | B | 19881130 | | |
| FI 77453 | C | 19890310 | | |
| NO 8400329 | A | 19840730 | NO 1984-329 | 19840127 |
| HU 33148 | A2 | 19841029 | HU 1984-378 | 19840127 |
| HU 192975 | B | 19870828 | | |
| DD 215542 | A5 | 19841114 | DD 1984-259679 | 19840127 |
| SU 1274623 | A3 | 19861130 | SU 1984-3697653 | 19840127 |
| PL 143994 | B1 | 19880430 | PL 1984-245932 | 19840127 |
| CS 274405 | B2 | 19910411 | CS 1984-614 | 19840127 |
| JP 59141565 | A | 19840814 | JP 1984-14185 | 19840128 |
| US 4631280 | A | 19861223 | US 1985-735580 | 19850520 |
| DK 8906215 | A | 19891208 | DK 1989-6215 | 19891208 |
| DK 162218 | B | 19910930 | | |
| DK 162218 | C | 19920302 | | |
| DK 8906216 | A | 19891208 | DK 1989-6216 | 19891208 |
| DK 162219 | B | 19910930 | | |
| DK 162219 | C | 19920302 | | |

PRIORITY APPLN. INFO.: FR 1983-1366 A 19830128
FR 1983-18433 A 19831118

L4 ANSWER 22 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
US 1984-571696 A3 19840118
EP 1984-400157 A 19840125

OTHER SOURCE(S): CASREACT 102:62255
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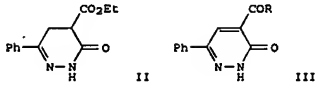
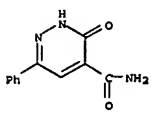


II III

AB 3-[2-(4-Morpholinyl)ethylamino]-6-phenyl-4-pyridazinecarbonitrile dihydrochloride (I) was prepared, and it showed antidepressant activity. The cyclocondensation of PhCOCH2CH(CO2Et)2 with N2H4 gave pyridazinone derivative II, which was brominated and dehydrobrominated to give ester III (R = OEt); the latter was converted to amide III (R = NH2). The amide was treated with POCl3 to give 3-chloro-6-phenyl-4-pyrazinecarbonitrile, and the product was treated with 4-(2-aminoethyl)morpholine and HCl to give I.
IT 87769-56-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and dehydration of, by phosphoryl chloride)
RN 87769-56-0 CAPLUS
CN 4-Pyridazinecarboxamide, 2,3-dihydro-3-oxo-6-phenyl- (9CI) (CA INDEX NAME)

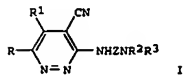


L4 ANSWER 23 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1985:24642 CAPLUS
DOCUMENT NUMBER: 102:24642
TITLE: Pyridazine derivatives with psychotropic activity and intermediates
INVENTOR(S): Biziere, Kathleen; Kan, Jean Paul; Wermuth, Camille Georges
PATENT ASSIGNEE(S): Sanofi, Fr.
SOURCE: Eur. Pat. Appl., 29 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 116494 | A1 | 19840822 | EP 1984-400157 | 19840125 |
| EP 116494 | B1 | 19880127 | | |
| R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE | | | | |
| FR 2540115 | A1 | 19840803 | FR 1983-1366 | 19830128 |
| FR 2540115 | B1 | 19850607 | | |
| FR 2555178 | A1 | 19850524 | FR 1983-18433 | 19831118 |
| FR 2555178 | B1 | 19860418 | | |
| AT 32220 | T | 19880215 | AT 1984-400157 | 19840125 |

PRIORITY APPLN. INFO.: FR 1983-1366 A 19830128
FR 1983-18433 A 19831118
EP 1984-400157 A 19840125

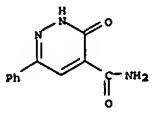
OTHER SOURCE(S): CASREACT 102:24642; MARPAT 102:24642
GI



I

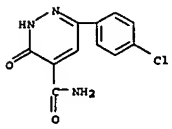
AB 3-Amino-4-pyridazinecarbonitriles I [one of R and R1 is H or alkyl, and the other is H, alkyl, cycloalkyl, Ph or substituted Ph, naphthyl, thienyl, 3-indolyl; Z = CH2CH2, CH2CHMe, (CH2)3; R2 = H and R3 = H, CH2CH2OH, or NR2R3 = 4-morpholinyl, 3-oxo-4-morpholinyl], which were prepared, showed psychotropic activity. 3-Chloro-6-phenyl-4-pyridazinecarbonitrile was heated with 4-(2-aminoethyl)morpholine in BuOH to give I (R = Ph, R1 = H, Z = CH2CH2, NR2R3 = 4-morpholinyl).
IT 87769-56-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with phosphoryl chloride)
RN 87769-56-0 CAPLUS
CN 4-Pyridazinecarboxamide, 2,3-dihydro-3-oxo-6-phenyl- (9CI) (CA INDEX NAME)

L4 ANSWER 23 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

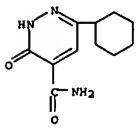


IT 94011-51-5 94011-52-6 94011-53-7
94011-54-8 94011-55-9 94011-56-0
94011-57-1 94011-58-2 94011-59-3
94011-60-6 94011-61-7 94011-62-8
94011-63-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with phosphoryl chloride)

RN 94011-51-5 CAPLUS
CN 4-Pyridazinecarboxamide, 6-(4-chlorophenyl)-2,3-dihydro-3-oxo- (9CI) (CA INDEX NAME)

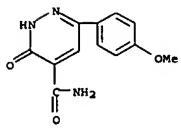


RN 94011-52-6 CAPLUS
CN 4-Pyridazinecarboxamide, 6-cyclohexyl-2,3-dihydro-3-oxo- (9CI) (CA INDEX NAME)

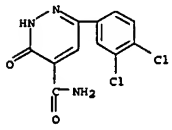


RN 94011-53-7 CAPLUS
CN 4-Pyridazinecarboxamide, 6-(2,4-dichlorophenyl)-2,3-dihydro-3-oxo- (9CI) (CA INDEX NAME)

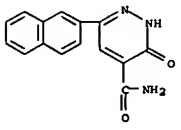
L4 ANSWER 23 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



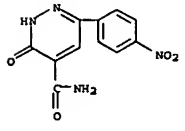
RN 94011-57-1 CAPLUS
CN 4-Pyridazinecarboxamide, 6-(3,4-dichlorophenyl)-2,3-dihydro-3-oxo- (9CI) (CA INDEX NAME)



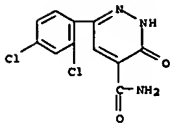
RN 94011-58-2 CAPLUS
CN 4-Pyridazinecarboxamide, 2,3-dihydro-6-(2-naphthalenyl)-3-oxo- (9CI) (CA INDEX NAME)



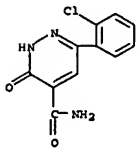
RN 94011-59-3 CAPLUS
CN 4-Pyridazinecarboxamide, 2,3-dihydro-6-(4-nitrophenyl)-3-oxo- (9CI) (CA INDEX NAME)



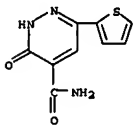
L4 ANSWER 23 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 94011-54-8 CAPLUS
CN 4-Pyridazinecarboxamide, 6-(2-chlorophenyl)-2,3-dihydro-3-oxo- (9CI) (CA INDEX NAME)



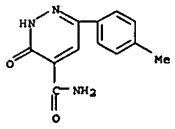
RN 94011-55-9 CAPLUS
CN 4-Pyridazinecarboxamide, 2,3-dihydro-3-oxo-6-(2-thienyl)- (9CI) (CA INDEX NAME)



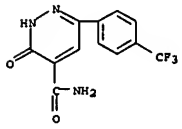
RN 94011-56-0 CAPLUS
CN 4-Pyridazinecarboxamide, 2,3-dihydro-6-(4-methoxyphenyl)-3-oxo- (9CI) (CA INDEX NAME)

L4 ANSWER 23 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

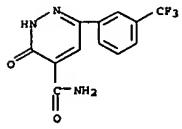
RN 94011-60-6 CAPLUS
CN 4-Pyridazinecarboxamide, 2,3-dihydro-6-(4-methylphenyl)-3-oxo- (9CI) (CA INDEX NAME)



RN 94011-61-7 CAPLUS
CN 4-Pyridazinecarboxamide, 2,3-dihydro-3-oxo-6-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

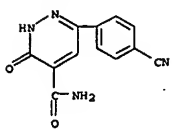


RN 94011-62-8 CAPLUS
CN 4-Pyridazinecarboxamide, 2,3-dihydro-3-oxo-6-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

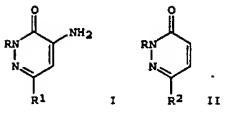


RN 94011-63-9 CAPLUS
CN 4-Pyridazinecarboxamide, 6-(4-cyanophenyl)-2,3-dihydro-3-oxo- (9CI) (CA INDEX NAME)

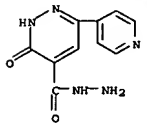
L4 ANSWER 23 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



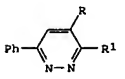
L4 ANSWER 24 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1984:630453 CAPLUS
DOCUMENT NUMBER: 101:230453
TITLE: Novel amination of 6-aryl-3(2H)-pyridazinones with hydrazine
AUTHOR(S): Singh, Baldev
CORPORATE SOURCE: Sterling-Winthrop Res. Inst., Rensselaer, NY, 12144, USA
SOURCE: Heterocycles (1984), 22(8), 1801-4
CODEN: HTCYAM; ISSN: 0385-5414
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 101:230453
GI



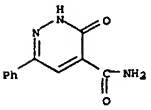
AB Aminopyridazinones I (R = H, Me; R1 = 4-pyridyl, 4-H2NC6H4, 4-HOC6H4) were
prepared from II (R2 = 4-pyridyl, 4-AcNHC6H4, 4-HOC6H4). II (R = H, R2 = 4-pyridyl) was heated with N2H4 to give I (R = H, R1 = 4-pyridyl).
IT 80843-46-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(attempted rearrangement of, with hydrazine)
RN 80843-46-5 CAPLUS
CN 4-Pyridazinecarboxylic acid, 2,3-dihydro-3-oxo-6-(4-pyridinyl)-, hydrazide
(9CI) (CA INDEX NAME)



L4 ANSWER 25 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1984:174757 CAPLUS
DOCUMENT NUMBER: 100:174757
TITLE: Synthesis of 4-amino-6-phenyl-3(2H)-pyridazinones: a general procedure
AUTHOR(S): Sircar, Ila
CORPORATE SOURCE: Dep. Chem., Warner-Lambert/Parke-Davis Pharm. Res., Ann Arbor, MI, 48105, USA
SOURCE: Journal of Heterocyclic Chemistry (1983), 20(6), 1473-6
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 100:174757
GI



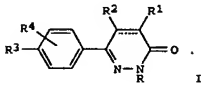
AB 3,4-Dichloro-6-phenylpyridazine (I) was prepared by treating 2-benzyl-4,5-dihydro-6-phenyl-3(2H)-pyridazinone with PCl5-POCl3. I was aminated to give II [R = NMe2, NH(CH2)3NMe2, NHBu, 4-methylpiperizino, morpholino, thiomorpholino; R1 = Cl] which were hydrolyzed with acid to
II
(R1 = OH).
IT 87769-56-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and Hofmann degradation of)
RN 87769-56-0 CAPLUS
CN 4-Pyridazinecarboxamide, 2,3-dihydro-3-oxo-6-phenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 26 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1983:594988 CAPLUS
DOCUMENT NUMBER: 99:194988
TITLE: Substituted 6-phenyl-3(2H)-pyridazinones useful as cardiotonic agents
INVENTOR(S): Sircar, Ila
PATENT ASSIGNEE(S): Warner-Lambert Co. , USA
SOURCE: U.S., 6 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

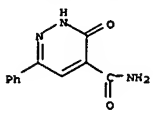
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 4404203 | A | 19830913 | US 1981-263643 | 19810514 |
| US 4397854 | A | 19830809 | US 1981-325719 | 19811130 |
| PRIORITY APPLN. INFO.: | | | US 1981-263643 | A2 19810514 |

OTHER SOURCE(S): CASREACT 99:194988; MARPAT 99:194988
GI



AB The cardiotonic title compds. I [R = H, alkyl, PhCH2, Ph; R1 = H, R2 = CF3, PhCH2, cyano, CO2H, CONR52 (R5 = H, alkyl), CH2NR52, CH2OH, NR52; R2 = H, R1 = CF3, cyano, CONR52, CH2NR52, NR52; R3, R4 = H, halo, alkyl, alkoxy, HO, PhO, sulfonamido; dotted line represents single or double bond] were prepared Thus, 89 g PhCOCH2CH2CO2H was cyclized with H2NNH2.H2O
in EtOH to give 75.6 g 6-phenyl-4,5-dihydro-3(2H)-pyridazinone, which was dehydrogenated by treatment with Br to give 60 g 6-phenyl-3(2H)-pyridazinone (II). At 0.1 mg/kg II increased cardiac contractility by 9.2% in dogs.
IT 87769-56-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and dehydration of)
RN 87769-56-0 CAPLUS
CN 4-Pyridazinecarboxamide, 2,3-dihydro-3-oxo-6-phenyl- (9CI) (CA INDEX NAME)

L4 ANSWER 26 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



L4 ANSWER 27 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN

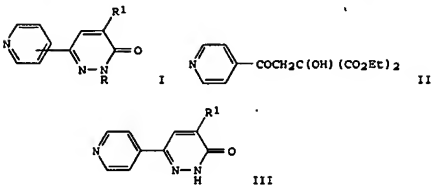
ACCESSION NUMBER: 1982:85571 CAPLUS
DOCUMENT NUMBER: 96:85571
TITLE: 4-Substituted 6-(pyridinyl)-3(2H)-pyridazinones, intermediates in their production and their use as cardiotonic agents
INVENTOR(S): Lesher, George Yohe; Dickinson, William Borden; Singh, Baldev
PATENT ASSIGNEE(S): Sterling Drug Inc., USA
SOURCE: Fr. Demande, 36 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| FR 2481284 | A1 | 19811030 | FR 1981-8251 | 19810424 |
| US 4304776 | A | 19811208 | US 1980-144697 | 19800428 |
| US 4305943 | A | 19811215 | US 1980-144563 | 19800428 |
| US 4338446 | A | 19820706 | US 1981-238483 | 19810226 |
| US 4346221 | A | 19820824 | US 1981-239566 | 19810302 |
| AU 8169724 | A | 19811105 | AU 1981-69724 | 19810422 |
| GB 2075500 | A | 19811118 | GB 1981-12638 | 19810423 |
| GB 2075500 | B | 19840606 | | |
| ZA 8102652 | A | 19820526 | ZA 1981-2652 | 19810423 |
| BE 888566 | A1 | 19811027 | BE 1981-10209 | 19810427 |
| DK 8101866 | A | 19811029 | DK 1981-1866 | 19810427 |
| FI 8101304 | A | 19811029 | FI 1981-1304 | 19810427 |
| NO 8101420 | A | 19811029 | NO 1981-1420 | 19810427 |
| SE 8102660 | A | 19811029 | SE 1981-2660 | 19810427 |
| ES 501665 | A1 | 19830101 | ES 1981-501665 | 19810427 |
| CA 1166253 | A1 | 19840424 | CA 1981-376309 | 19810427 |
| CA 1166254 | A1 | 19840424 | CA 1981-376317 | 19810427 |
| NL 8102077 | A | 19811116 | NL 1981-2077 | 19810428 |
| JP 56167684 | A | 19811223 | JP 1981-65103 | 19810428 |
| DE 3116861 | A1 | 19820114 | DE 1981-3116861 | 19810428 |

PRIORITY APPLN. INFO.: US 1980-144563 A 19800428
US 1980-144697 A 19800428

OTHER SOURCE(S): CASREACT 96:85571; MARPAT 96:85571
GI

L4 ANSWER 27 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



AB Cardiotonic (no data), pyridylpyridazinones I (R = H, alkyl, hydroxyalkyl; R1 = NH2, CONH2, CO2H, CONHNH2, alkoxycarbonyl) were prepared Thus 4-acetylpyridine was treated with OC(CO2Et)2 to give II which was cyclized with N2H4 and dehydrated to give III (R1 = CO2Et). The ester was converted to the hydrazide and then the azide which was subjected to Curtius rearrangement, hydrolysis, and decarboxylation to give III (R1 = NH2).

IT 80843-46-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with nitrite)

RN 80843-46-5 CAPLUS
CN 4-Pyridazinecarboxylic acid, 2,3-dihydro-3-oxo-6-(4-pyridinyl)-, hydrazide
(9CI) (CA INDEX NAME)